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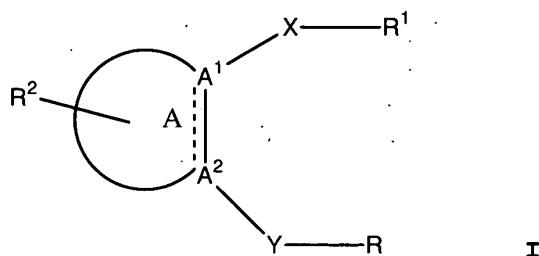
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WHAT IS CLAIMED IS:

### 1. A compound of formula I

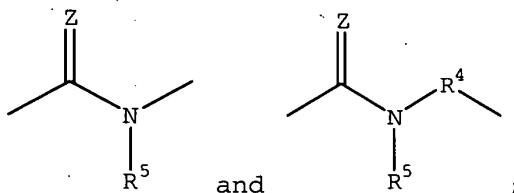


wherein each of A<sup>1</sup> and A<sup>2</sup> is independently C, or N;

wherein ring A is selected from

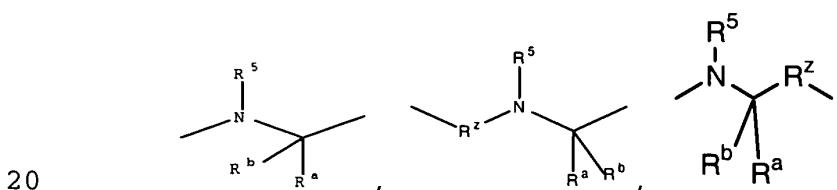
- 10           a) 5- or 6-membered partially saturated heterocyclyl,  
              b) 5- or 6-membered heteroaryl,  
              c) 9- or 10-membered fused partially saturated  
              heterocyclyl,  
              d) 9-, 10- or 11-membered fused heteroaryl;  
              e) naphthyl, and  
15           f) 4-, 5- or 6- membered cycloalkenyl;

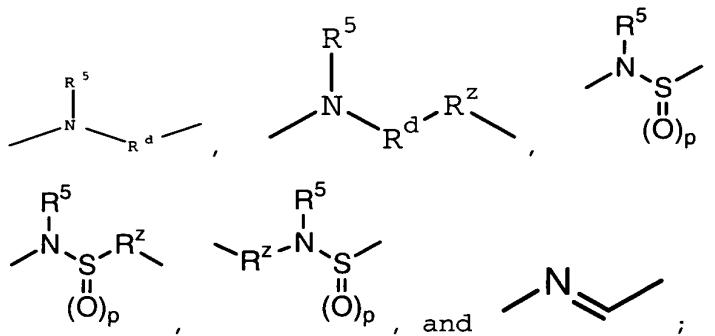
wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from





wherein p is 0 to 2,

wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,

5       cyano, -NHR<sup>6</sup> and C<sub>1-4</sub>-alkyl substituted with R<sup>2</sup>, or wherein  
R<sup>a</sup> and R<sup>b</sup> together form C<sub>3-C<sub>6</sub></sub> cycloalkyl;

wherein R<sup>z</sup> is selected from C<sub>1-C<sub>4</sub></sub> alkylenyl, where one of the  
CH<sub>2</sub> groups may be substituted with an oxygen atom or an -  
NH-;

10      wherein R<sup>d</sup> is cycloalkyl;

wherein R is selected from

a) substituted or unsubstituted 5-6 membered  
heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-  
membered heterocyclyl;

15      wherein substituted R is substituted with one or more  
substituents independently selected from halo, -OR<sup>3</sup>,  
-SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>,  
-NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally

20      substituted 5-6 membered heterocyclyl, optionally  
substituted phenyl, lower alkyl substituted with R<sup>2</sup>,  
cyano, nitro, lower alkenyl and lower alkynyl;

wherein R<sup>1</sup> is selected from

a) substituted or unsubstituted 6-10 membered aryl,

25      b) substituted or unsubstituted 5-6 membered  
heterocyclyl,

c) substituted or unsubstituted 9-11 membered fused  
heterocyclyl,

A-733A

- d) cycloalkyl, and
- e) cycloalkenyl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>4</sub> alkyleneR<sup>14</sup>), -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -COR<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted phenylalkylene, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylene, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl; wherein R<sup>3</sup> is independently selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, and lower haloalkyl;

wherein R<sup>4</sup> is independently selected from C<sub>2</sub>-C<sub>4</sub> alkylene, C<sub>2</sub>-C<sub>4</sub> alkenylene and C<sub>2</sub>-C<sub>4</sub> alkynylene, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-;

wherein R<sup>5</sup> is selected from H, lower alkyl, phenyl and lower aralkyl; and

wherein R<sup>6</sup> is selected from H or C<sub>1-6</sub>-alkyl;

wherein R<sup>14</sup> is selected from H, phenyl, 5-6 membered heterocyclyl and C<sub>3</sub>-C<sub>6</sub> cycloalkyl;

and pharmaceutically acceptable salts thereof;

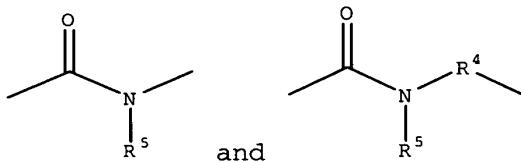
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provided A is not naphthyl when X is  $-C(O)NH-$  and when  $R^1$  is phenyl when Y is  $-NHCH_2-$  and when R is 4-pyridyl; further provided A is not pyridyl when X is  $-C(O)NH-$  and when Y is  $-NHCH_2-$  and when R is 4-pyridylpiperidin-4-yl, 1-tertbutylpiperidin-4-yl, 1-isopropylpiperidin-4-yl or 1-cycloalkylpiperidin-4-yl; further provided A is not pyridyl when X is  $-C(O)NH-$  and when  $R^1$  is 4-[3-(3-pyridyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl when Y is  $-NHCH_2-$  and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is  $-NHCH_2-$ .

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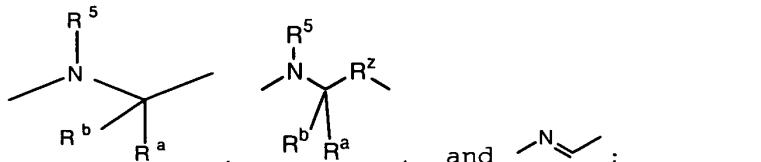
2. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from 5- or 15 6-membered partially saturated heterocyclyl.

3. Compound of Claim 2, and pharmaceutically acceptable salts thereof, wherein A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-20 dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl, imidazolinyl and pyrazolinyl; wherein X is selected from



and ; wherein Y is

selected from



25 , and ;

wherein  $R^a$  and  $R^b$  are independently selected from H, halo, cyano, and  $C_{1-2}$ -alkyl substituted with  $R^2$ , or wherein  $R^a$  and  $R^b$  together form  $C_{3-4}$  cycloalkyl; wherein  $R^z$  is  $C_{1-2}$

alkylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or

5 unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-

10 6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>1</sup> is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6

15 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1-C<sub>2</sub></sub> alkylenylR<sup>3</sup>), -(C<sub>1-C<sub>2</sub></sub> alkylenyl)NR<sup>3</sup>R<sup>3</sup>,

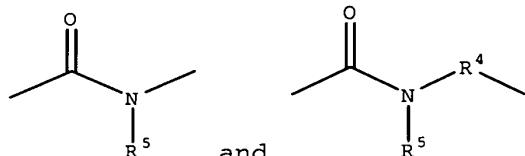
20 -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-2</sub>-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1-C<sub>2</sub></sub>-alkylenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-

25 hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is selected from H, C<sub>1-2</sub>-alkyl, phenyl, C<sub>3-C<sub>6</sub></sub> cycloalkyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylenyl, where one of the CH<sub>2</sub>

groups may be substituted with an oxygen atom or an -NH-; and wherein R<sup>5</sup> is selected from H and C<sub>1-2</sub>-alkyl.

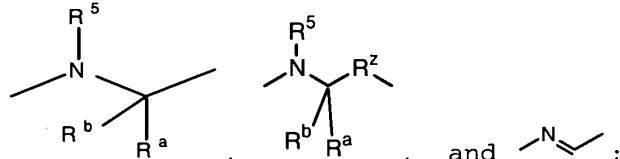
4. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from 5- or 6-membered heteroaryl.

5. Compound of Claim 4, and pharmaceutically acceptable salts thereof, wherein A is selected from 10 pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl; wherein X is selected from



; wherein Y is

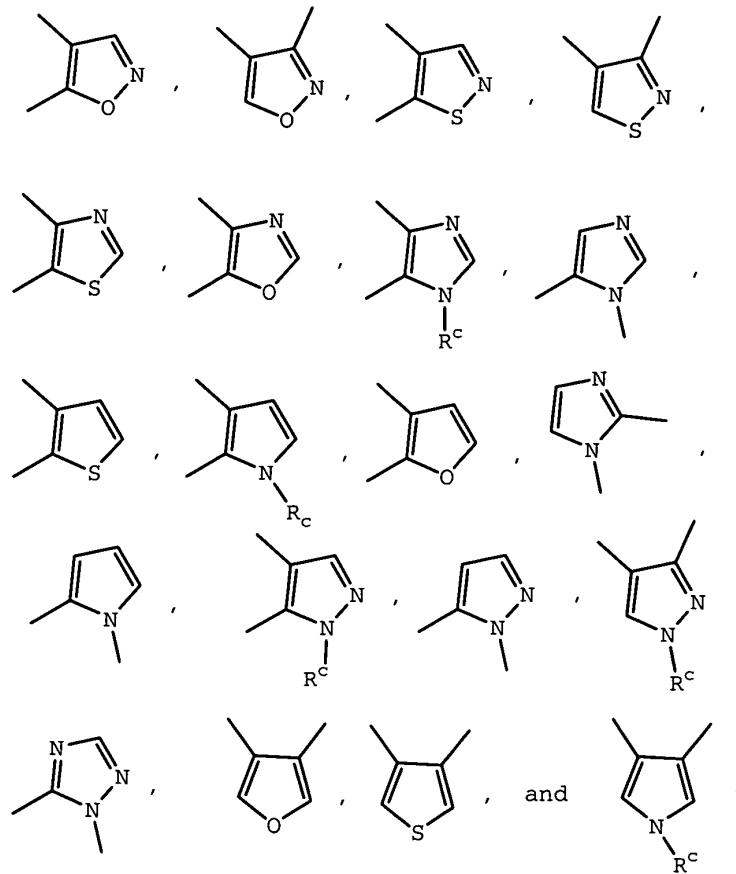
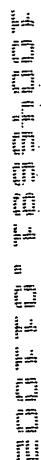
15 selected from



wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, cyano, and C<sub>1-2</sub>-alkyl substituted with R<sup>2</sup>, or wherein R<sup>a</sup> and R<sup>b</sup> together form C<sub>3-C4</sub> cycloalkyl; wherein R<sup>z</sup> is C<sub>1-C2</sub> alkylene, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from 20 substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted 25 with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-

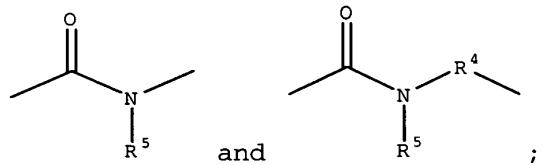
- 6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>1</sup> is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and
- 5 tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1-C<sub>2</sub></sub> alkylene)R<sup>3</sup>), -(C<sub>1-C<sub>2</sub></sub> alkylene)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-2</sub>-alkylene, optionally substituted 5-6 membered heterocyclyl-C<sub>1-C<sub>2</sub></sub>-alkylene, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-
- 10 20 6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is selected from H, C<sub>1-2</sub>-alkyl, phenyl, C<sub>3-C<sub>6</sub></sub> cycloalkyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylene, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; and wherein R<sup>5</sup> is selected from H and C<sub>1-2</sub>-alkyl.
- 15 25

6. Compound of Claim 1 wherein A is selected from

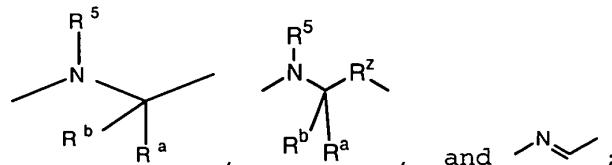


wherein R<sup>c</sup> is selected from H, methyl and optionally substituted phenyl; wherein X is selected from

5 substituted phenyl; wherein X is selected from



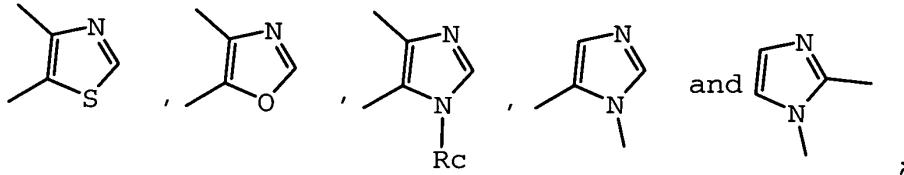
wherein Y is selected from



wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,  
10 cyano, and C<sub>1-2</sub>-alkyl substituted with R<sup>2</sup>, or wherein R<sup>a</sup> and  
R<sup>b</sup> together form C<sub>3</sub>-C<sub>4</sub> cycloalkyl; wherein R<sup>2</sup> is C<sub>1</sub>-C<sub>2</sub>  
alkylenyl, where one of the CH<sub>2</sub> groups may be substituted

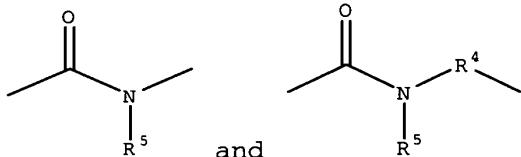
with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>1</sup> is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1-C<sub>2</sub></sub> alkylene)R<sup>3</sup>, -(C<sub>1-C<sub>2</sub></sub> alkylene)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-2</sub>-alkylene, optionally substituted 5-6 membered heterocyclyl-C<sub>1-C<sub>2</sub></sub>-alkylene, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is selected from H, C<sub>1-2</sub>-alkyl, phenyl, C<sub>3-C<sub>6</sub></sub> cycloalkyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylene, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; and wherein R<sup>5</sup> is selected from H and C<sub>1-2</sub>-alkyl.

7. Compound of Claim 6 wherein A is selected from

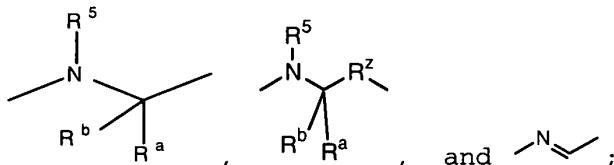


wherein R<sup>c</sup> is selected from H, methyl and optionally

5 substituted phenyl; wherein X is selected from



selected from

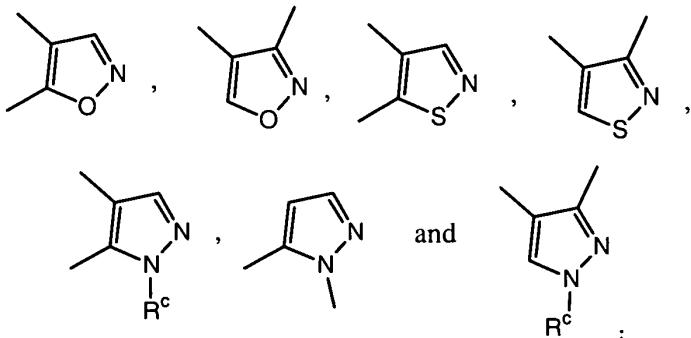


wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,

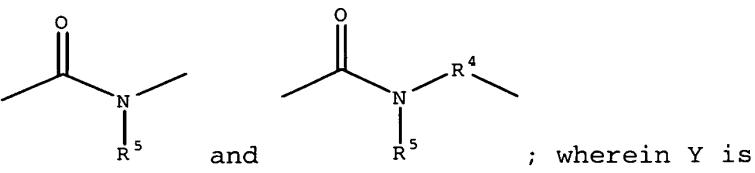
10 and C<sub>1-2</sub>-alkyl; wherein R<sup>z</sup> is C<sub>1-C<sub>2</sub></sub> alkylene; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted 15 R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>1</sup> is a substituted or 20 unsubstiuted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, 25 thiazolyl, thiadiazolyl, tetrahydroquinolinyl,

benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>2</sub>-alkylenyl-R<sup>3</sup>), -(C<sub>1</sub>-C<sub>2</sub>-alkylenyl)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-2</sub>-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1</sub>-C<sub>2</sub>-alkylenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylenyl; and wherein R<sup>5</sup> is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

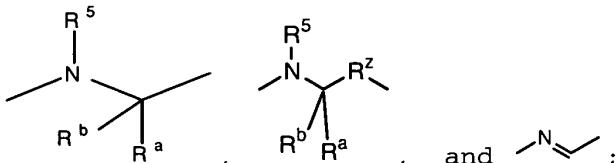
8. Compound of Claim 6 wherein A is selected from



25 wherein R<sup>c</sup> is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



selected from



wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,

- 5 and C<sub>1-2</sub>-alkyl; wherein R<sup>z</sup> is C<sub>1-C<sub>2</sub></sub> alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted 10 R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, 15 nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>1</sup> is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, 20 thiazolyl, thiadiazolyl, tetrahydroquinolinyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1-C<sub>2</sub></sub>-alkylenyl-R<sup>3</sup>), -(C<sub>1-C<sub>2</sub></sub>-alkylenyl)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally 25 substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-2</sub>-alkylenyl, optionally substituted 5-6

membered heterocyclyl-C<sub>1</sub>-C<sub>2</sub>-alkylenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -

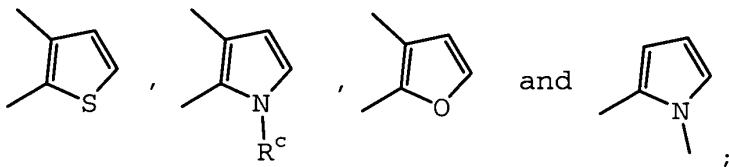
5 NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and

10 trifluoromethyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylenyl; and wherein R<sup>5</sup> is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

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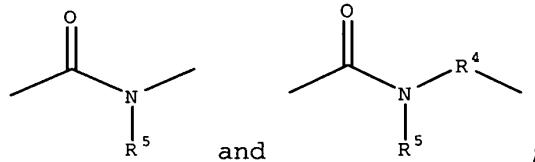
9. Compound of Claim 6 wherein A is selected from

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and ;

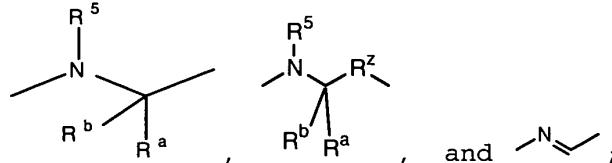
wherein R<sup>c</sup> is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



and ;

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wherein Y is selected from

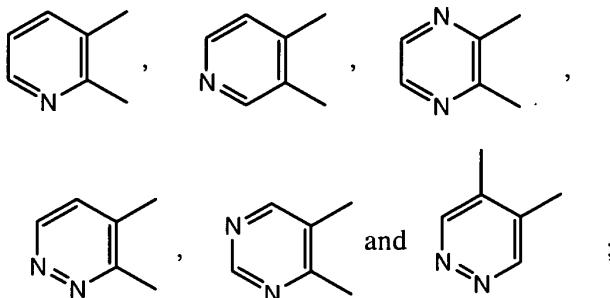


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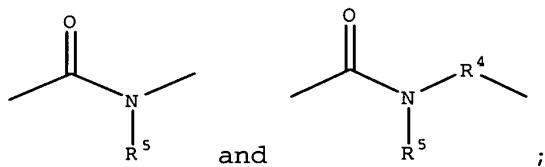
wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, and C<sub>1-2</sub>-alkyl; wherein R<sup>z</sup> is C<sub>1</sub>-C<sub>2</sub> alkylene; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-

pyridazinyl, indazolyl, quinolinyl, isoquinolinyl,  
quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted  
R is substituted with one or more substituents independently  
selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -  
5 NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl,  
optionally substituted 5-6 membered heterocyclyl, optionally  
substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl,  
nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>1</sup> is a substituted or  
unsubstituted substituent selected from phenyl, indenyl,  
10 thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl,  
2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl,  
pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl,  
thiazolyl, thiadiazolyl, tetrahydroquinolinyl,  
benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein  
15 substituted R<sup>1</sup> is substituted with one or more substituents  
independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -  
CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1-C<sub>2</sub></sub>-alkylenyl-R<sup>3</sup>), -(C<sub>1-C<sub>2</sub></sub>-  
alkylenyl)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally  
substituted cycloalkyl, optionally substituted 5-6 membered  
20 heterocyclyl, optionally substituted phenyl, optionally  
substituted phenyl-C<sub>1-2</sub>-alkylenyl, optionally substituted 5-6  
membered heterocyclyl-C<sub>1-C<sub>2</sub></sub>-alkylenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-  
hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or  
more substituents independently selected from H, halo, -OR<sup>3</sup>,  
25 oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -  
NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-  
6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-  
alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-</sub>  
3-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is  
30 selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and  
trifluoromethyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylenyl; and wherein R<sup>5</sup>  
is from H, methyl or ethyl; and pharmaceutically acceptable  
salts thereof.

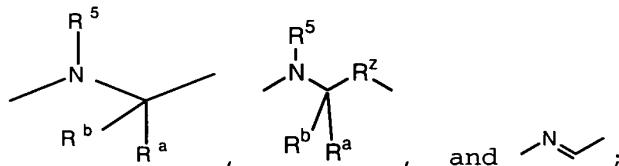
10. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from



5 wherein X is selected from



wherein Y is selected from

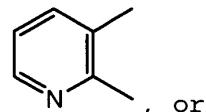


wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,  
10 and C<sub>1-2</sub>-alkyl; wherein R<sup>z</sup> is C<sub>1-C<sub>2</sub></sub> alkylene; wherein R is  
selected from substituted or unsubstituted 4-pyridyl, 4-  
pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-  
pyridazinyl, indazolyl, quinolinyl, isoquinolinyl,  
quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted  
15 R is substituted with one or more substituents independently  
selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -  
NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl,  
optionally substituted 5-6 membered heterocyclyl, optionally  
substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl,  
20 nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>1</sup> is a substituted or  
unsubstituted substituent group selected from phenyl,  
indenyl, thiienyl, indolyl, pyridyl, naphthyl,

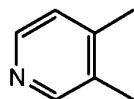
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tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolinyl, benzodioxanyl, quinazolinyl, furyl and 5 pyrrolyl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>2</sub>-alkylenyl-R<sup>3</sup>), -(C<sub>1</sub>-C<sub>2</sub>-alkylenyl)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-10 6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-2</sub>-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1</sub>-C<sub>2</sub>-alkylenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently 15 selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-20 haloalkyl; wherein R<sup>3</sup> is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylenyl; and wherein R<sup>5</sup> is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

## 25 11. Compound of Claim 10, and pharmaceutically



acceptable salts thereof, wherein A is



; wherein X is -C(O)-NH-; wherein Y is -NH-CH<sub>2</sub>-; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, 4-isoquinolyl, 30 5-isoquinolyl, 6-isoquinolyl, 5-indazolyl, 4-pyrimidinyl and

4-pyridazinyl; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>1</sup> is selected from substituted or unsubstituted phenyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzodioxanyl, and

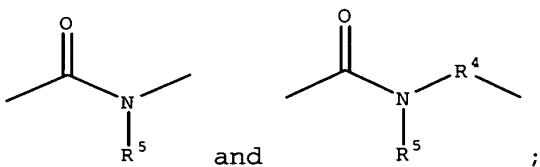
10 quinazolinyl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally

15 substituted phenyl, optionally substituted phenyl-C<sub>1-2</sub>-alkylenyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo,

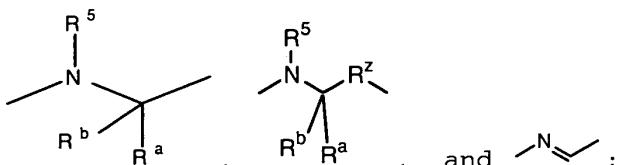
20 -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is

25 selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylenyl; and wherein R<sup>5</sup> is from H, methyl or ethyl.

12. Compound of Claim 1 wherein A is 9- or 10-membered  
30 fused partially saturated heterocyclyl or 9- or 10-membered fused heteroaryl; wherein X is selected from



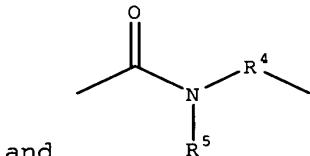
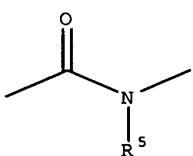
wherein Y is selected from



wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,

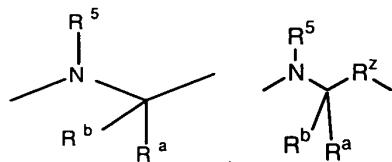
- 5 cyano, and C<sub>1-2</sub>-alkyl substituted with R<sup>2</sup>, or wherein R<sup>a</sup> and  
R<sup>b</sup> together form C<sub>3</sub>-C<sub>4</sub> cycloalkyl; wherein R<sup>z</sup> is C<sub>1</sub>-C<sub>2</sub>  
alkylenyl, where one of the CH<sub>2</sub> groups may be substituted  
with an oxygen atom or an -NH-; wherein R is selected from  
substituted or unsubstituted 5-6 membered heteroaryl  
10 comprising one or more nitrogen atoms, and substituted or  
unsubstituted 9-10 membered fused heteroaryl comprising one  
or more nitrogen atoms; wherein substituted R is substituted  
with one or more substituents independently selected from  
halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -  
15 NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-  
6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-  
alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl;  
wherein R<sup>1</sup> is selected from substituted or unsubstituted  
aryl selected from phenyl, naphthyl, indenyl and  
20 tetrahydronaphthyl, substituted or unsubstituted 5-6  
membered heteroaryl, and substituted or unsubstituted 9-10  
membered fused heteroaryl; wherein substituted R<sup>1</sup> is  
substituted with one or more substituents independently  
selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -  
25 COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>2</sub> alkylenylR<sup>3</sup>), -(C<sub>1</sub>-C<sub>2</sub> alkylenyl)NR<sup>3</sup>R<sup>3</sup>,  
-SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted  
cycloalkyl, optionally substituted 5-6 membered  
heterocyclyl, optionally substituted phenyl, optionally

- P  
O  
S  
E  
S  
E  
R  
E  
C  
H  
O  
R  
U  
:
- substituted phenyl-C<sub>1-2</sub>-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1-C<sub>2</sub></sub>-alkylenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>,  
 5 oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is  
 10 selected from H, C<sub>1-2</sub>-alkyl, phenyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; and wherein R<sup>5</sup> is selected from H and C<sub>1-2</sub>-alkyl; and pharmaceutically acceptable salts thereof.
- 15
13. Compound of Claim 12 wherein A is selected from benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, naphthpyridinyl, tetrahydroquinolyl,  
 20 quinoxalinyl and quinazolinyl;  
 and pharmaceutically acceptable salts thereof.
- 25
14. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is 5- or 6-membered cycloalkenyl; wherein X is selected from



; wherein Y is

selected from



- , and  $\text{N}=\text{}$ ; wherein  $R^a$  and  $R^b$  are independently selected from H, halo, cyano, and  $C_{1-2}$ -alkyl substituted with  $R^2$ , or wherein  $R^a$  and  $R^b$  together form  $C_3-C_4$  cycloalkyl; wherein  $R^z$  is  $C_1-C_2$  alkylene, where one of the  $CH_2$  groups may be substituted with an oxygen atom or an  $-NH-$ ; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl, nitro and  $C_{1-2}$ -haloalkyl; wherein  $R^1$  is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-SO_2R^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-NH(C_{1-2}\text{ alkylene})R^3$ ,  $-(C_{1-2}\text{ alkylene})NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1-2}$ -alkylene, optionally substituted 5-6 membered heterocyclyl- $C_{1-2}$ -alkylene,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl, nitro and  $C_{1-2}$ -haloalkyl; wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ , oxo,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ , -

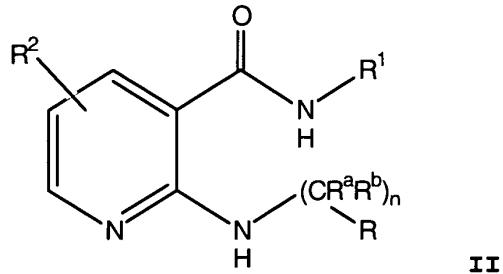
NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is  
5 selected from H, C<sub>1-2</sub>-alkyl, phenyl, C<sub>3-C<sub>6</sub></sub> cycloalkyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; and wherein R<sup>5</sup> is selected from H and C<sub>1-2</sub>-alkyl.

10        15. Compound of Claim 14 wherein A is cyclopentadienyl or cyclopentenyl; and pharmaceutically acceptable salts thereof.

16. Compound of Claim 1 and pharmaceutically acceptable salts thereof selected from  
15        N-(4-chlorophenyl)-3-[(4-pyridinylmethylene)amino]-4-pyridinecarboxamide;  
N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;  
20        N-phenyl{3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;  
N-(4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
N-(3,4-dichlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}-carboxamide;  
25        N-(3-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-pyridyl)}carboxamide;  
N-(4-chlorophenyl){3-[(6-quinolylmethyl)amino](2-pyridyl)}carboxamide;  
30        N-(3,4-dichlorophenyl){2-[(6-quinolylmethyl)amino](3-pyridyl)}-carboxamide;  
N-(4-chlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

- N-(3,4-dichlorophenyl){6-methyl-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;  
N-(3-fluoro-4-methylphenyl){6-methyl-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;  
5 N-(3,4-dichlorophenyl){6-chloro-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;  
N-(4-chlorophenyl){6-chloro-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;  
10 {6-chloro-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}-N-(3-fluorophenyl)carboxamide;  
N-(3-chlorophenyl){6-chloro-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;  
N-(4-chlorophenyl){3-[ (4-pyridylmethyl)amino] (4-pyridyl)}carboxamide;  
15 N-(3-fluoro-4-methylphenyl){2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;  
N-(4-chlorophenyl){2-[ (4-quinolylmethyl)amino] (3-pyridyl)}carboxamide;  
N-(4-chlorophenyl){2-[ (5-quinolylmethyl)amino] (3-pyridyl)}carboxamide;  
20 N-(4-chlorophenyl){2-[ (4-pyridylethyl)amino]-5-(3-thienyl)- (3-pyridyl)}carboxamide;  
N-(4-chlorophenyl){5-(4-methoxyphenyl)-2-[ (4-pyridylmethyl)amino]- (3-pyridyl)}carboxamide; and  
25 N-(4-chlorophenyl){5-bromo-2-[ (4-pyridylmethyl)amino]- (3-pyridyl)}carboxamide.

17. A compound of Claim 1 having Formula II



wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,  
C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>;

5 wherein n is 1-2;

wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered

10 fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl and C<sub>1-6</sub>-alkoxy;

wherein R<sup>1</sup> is selected from unsubstituted or substituted  
15 aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally

20 substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted

phenyloxy, benzyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1-C<sub>2</sub></sub>-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C<sub>1-6</sub>-haloalkyl, and C<sub>1-6</sub>-alkoxy;

25 wherein R<sup>2</sup> is one or more substituents independently selected from

H,

halo,

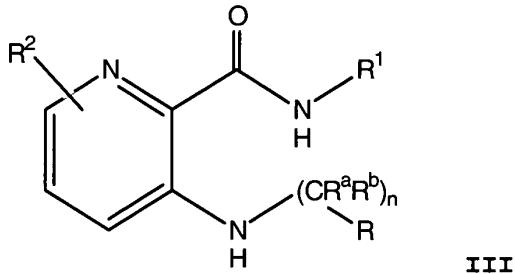
C<sub>1-6</sub>-alkyl,  
C<sub>1-6</sub>-haloalkyl,  
C<sub>1-6</sub>-alkoxy,  
C<sub>1-6</sub>-haloalkoxy,  
5 C<sub>1-6</sub>-carboxyalkyl,  
unsubstituted or substituted aryl and  
unsubstituted or substituted 5-6 membered  
heteroaryl; and  
wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;  
10 and pharmaceutically acceptable isomers and salts thereof.

18. Compound of Claim 17 wherein R<sup>a</sup> and R<sup>b</sup> are H;  
wherein n is 1-2;  
wherein R is selected from 4-pyridyl, pyrimidinyl,  
15 triazolyl, pyridazinyl, indolyl, isoindolyl,  
indazolyl, quinolyl, isoquinolyl, naphthyridinyl and  
quinoxalinyl, where R is unsubstituted or substituted  
with one or more substituents selected  
from chloro, fluoro, amino, hydroxy, methyl, ethyl,  
20 propyl, trifluoromethyl, methoxy and ethoxy;  
wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl,  
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,  
pyridazinyl, indolyl, isoindolyl, naphthyridinyl,  
quinoxalinyl, tetrahydroquinolinyl, indazolyl,  
25 benzothienyl, benzofuryl, benzimidazolyl,  
benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is  
unsubstituted or substituted with one or more  
substituents selected  
from chloro, fluoro, amino, hydroxy, cyclohexyl,  
30 phenylmethyl, morpholinylmethyl,  
methylpiperidinylmethyl, methylpiperazinylmethyl,  
ethyl, propyl, trifluoromethyl, phenoxy,  
methoxy and ethoxy; and

wherein R<sup>2</sup> is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

10

19. A compound of Claim 1 having Formula III



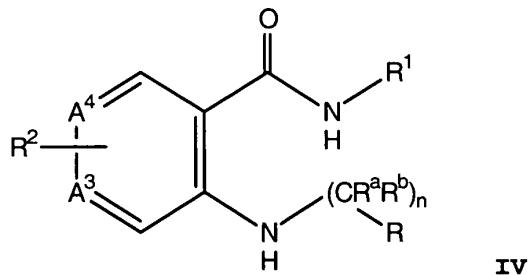
15 wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,  
C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>;  
wherein n is 1-2;  
wherein R is selected from  
20 a) unsubstituted or substituted 5- or 6-membered  
nitrogen-containing heteroaryl, and  
b) unsubstituted or substituted 9- or 10-membered  
fused nitrogen-containing heteroaryl,  
where R is substituted with one or more substituents  
selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-  
haloalkyl and C<sub>1-6</sub>-alkoxy;  
25 wherein R<sup>1</sup> is selected from unsubstituted or substituted  
aryl,  
5-6 membered heteroaryl and  
9-10 membered fused heteroaryl,

wherein substituted R<sup>1</sup> is substituted with one or more  
substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally  
substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted  
phenyl, optionally substituted 5-6 membered  
5 heterocyclyl-C<sub>1-C<sub>2</sub></sub>-alkylenyl, C<sub>1-6</sub>-haloalkoxy,  
optionally substituted phenoxy, benzyl, optionally  
substituted heteroaryl, optionally substituted  
heteroaryloxy, C<sub>1-6</sub>-haloalkyl, and C<sub>1-6</sub>-alkoxy;  
wherein R<sup>2</sup> is one or more substituents independently  
10 selected from  
H,  
halo,  
C<sub>1-6</sub>-alkyl,  
C<sub>1-6</sub>-haloalkyl,  
15 C<sub>1-6</sub>-alkoxy,  
C<sub>1-6</sub>-haloalkoxy,  
C<sub>1-6</sub>-carboxyalkyl,  
unsubstituted or substituted aryl and  
unsubstituted or substituted 5-6 membered  
20 heteroaryl; and  
wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;  
and pharmaceutically acceptable isomers and salts thereof.

20. Compound of Claim 19 wherein R<sup>a</sup> and R<sup>b</sup> are H;  
25 wherein n is 1-2;  
wherein R is selected from 4-pyridyl, pyrimidinyl,  
pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,  
isoquinolyl, naphthyridinyl and quinozalinyl, where R  
is unsubstituted or substituted with one or more  
30 substituents selected  
from chloro, fluoro, amino, hydroxy, methyl, ethyl,  
propyl, trifluoromethyl, methoxy and ethoxy;  
wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl,  
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,

pyridazinyl, indolyl, isoindolyl, naphthyridinyl,  
 quinozalinyl, tetrahydroquinolinyl, indazolyl,  
 benzothienyl, benzofuryl, benzimidazolyl,  
 benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is  
 5       unsubstituted or substituted with one or more  
 substituents selected  
 from chloro, fluoro, amino, hydroxy, cyclohexyl,  
 phenylmethyl, morpholinylmethyl,  
 methylpiperdinylmethyl, methylpiperazinylmethyl,  
 10      ethyl, propyl, trifluoromethyl, phenoxy,  
 methoxy and ethoxy; and  
 wherein R<sup>2</sup> is one or more substituents independently  
 selected from H, chloro, fluoro, bromo, amino,  
 hydroxy, methyl, ethyl, propyl, trifluoromethyl,  
 15      methoxy, ethoxy, trifluoromethoxy, carboxymethyl,  
 unsubstituted or substituted phenyl and unsubstituted  
 or substituted heteroaryl selected  
 from thienyl, furanyl, pyridyl, imidazolyl, and  
 pyrazolyl;  
 20      and pharmaceutically acceptable salts thereof.

## 21. A compound of Claim 1 having Formula IV



25  
 wherein A<sup>3</sup> is selected from CR<sup>2</sup> and N;  
 wherein A<sup>4</sup> is selected from CR<sup>2</sup> and N; provided one of A<sup>3</sup> and  
 A<sup>4</sup> is not CR<sup>2</sup>;

wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,  
C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>;

wherein n is 1-2;

wherein R is selected from

- 5        a) unsubstituted or substituted 5- or 6-membered  
            nitrogen-containing heteroaryl, and  
            b) unsubstituted or substituted 9- or 10-membered  
            fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents

- 10        selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl and C<sub>1-6</sub>-alkoxy;

wherein R<sup>1</sup> is selected from unsubstituted or substituted  
aryl,

5-6 membered heteroaryl and

- 15        9-10 membered fused heteroaryl,

wherein substituted R<sup>1</sup> is substituted with one or more  
substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally  
substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted  
phenyl, optionally substituted 5-6 membered

- 20        heterocycl-C<sub>1-C<sub>2</sub></sub>-alkylenyl, C<sub>1-6</sub>-haloalkoxy,  
            optionally substituted phenoxy, benzyl, optionally  
            substituted heteroaryl, optionally substituted  
            heteroaryloxy, C<sub>1-6</sub>-haloalkyl, and C<sub>1-6</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently

- 25        selected from

H,

halo,

C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

- 30        C<sub>1-6</sub>-alkoxy,

C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered  
heteroaryl; and  
wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;  
and pharmaceutically acceptable isomers and salts thereof.

5

22. Compound of Claim 21 wherein R<sup>a</sup> and R<sup>b</sup> are H;  
wherein n is 1-2;  
wherein R is selected from 4-pyridyl, pyrimidinyl,  
pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,  
isoquinolyl, naphthyridinyl and quinozalinyl, where R  
is unsubstituted or substituted with one or more  
substituents selected  
from chloro, fluoro, amino, hydroxy, methyl, ethyl,  
propyl, trifluoromethyl, methoxy and ethoxy;

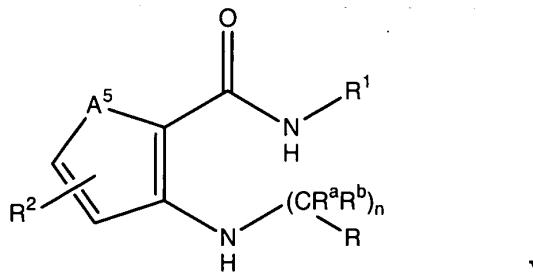
15 wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl,  
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,  
pyridazinyl, indolyl, isoindolyl, naphthyridinyl,  
quinozalinyl, tetrahydroquinolinyl, indazolyl,  
benzothienyl, benzofuryl, benzimidazolyl,  
20 benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is  
unsubstituted or substituted with one or more  
substituents selected  
from chloro, fluoro, amino, hydroxy, cyclohexyl,  
phenylmethyl, morpholinylmethyl,  
25 methylpiperdinylmethyl, methylpiperazinylmethyl,  
ethyl, propyl, trifluoromethyl, phenoxy,  
methoxy and ethoxy; and  
wherein R<sup>2</sup> is one or more substituents independently  
selected from H, chloro, fluoro, bromo, amino,  
30 hydroxy, methyl, ethyl, propyl, trifluoromethyl,  
methoxy, ethoxy, trifluoromethoxy, carboxymethyl,  
unsubstituted or substituted phenyl and unsubstituted  
or substituted heteroaryl selected

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from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;  
and pharmaceutically acceptable salts thereof.

5

## 23. A compound of Claim 1 having the formula V


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- wherein A<sup>5</sup> is selected from S, O and NR<sup>6</sup>;
- 10 wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,  
C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>;  
wherein n is 1-2;  
wherein R is selected from  
a) unsubstituted or substituted 5- or 6-membered  
15 nitrogen-containing heteroaryl, and  
b) unsubstituted or substituted 9- or 10-membered  
fused nitrogen-containing heteroaryl,  
where R is substituted with one or more substituents  
selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-</sub>  
20 C<sub>6</sub>-haloalkyl and C<sub>1-6</sub>-alkoxy;  
wherein R<sup>1</sup> is selected from unsubstituted or substituted  
aryl,  
5-6 membered heteroaryl and  
9-10 membered fused heteroaryl,
- 25 wherein substituted R<sup>1</sup> is substituted with one or more  
substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally  
substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted  
phenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted  
phenyloxy, benzyl, optionally substituted 5-6 membered

heterocyclyl-C<sub>1</sub>-C<sub>2</sub>-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C<sub>1-6</sub>-haloalkyl, and C<sub>1-6</sub>-alkoxy;  
wherein R<sup>2</sup> is one or more substituents independently selected from

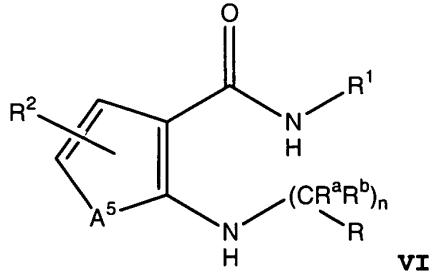
- 5                   H,  
                      halo,  
                      C<sub>1-6</sub>-alkyl,  
                      C<sub>1-6</sub>-haloalkyl,  
10                  C<sub>1-6</sub>-alkoxy,  
                      C<sub>1-6</sub>-haloalkoxy,  
                      C<sub>1-6</sub>-carboxyalkyl,  
                      unsubstituted or substituted aryl and  
                      unsubstituted or substituted 5-6 membered  
15                  heteroaryl; and

wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;  
and pharmaceutically acceptable isomers and salts thereof.

24. Compound of Claim 23 wherein R<sup>a</sup> and R<sup>b</sup> are H;  
20    wherein n is 1-2;  
      wherein R is selected from 4-pyridyl, pyrimidinyl,  
              pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,  
              isoquinolyl, naphthyridinyl and quinozalinyl, where R  
              is unsubstituted or substituted with one or more  
25        substituents selected  
              from chloro, fluoro, amino, hydroxy, methyl, ethyl,  
              propyl, trifluoromethyl, methoxy and ethoxy;  
      wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl,  
              naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,  
30        pyridazinyl, indolyl, isoindolyl, naphthyridinyl,  
              quinozalinyl, tetrahydroquinolinyl, indazolyl,  
              benzothienyl, benzofuryl, benzimidazolyl,  
              benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is

unsubstituted or substituted with one or more  
substituents selected  
from chloro, fluoro, amino, hydroxy, cyclohexyl,  
phenylmethyl, morpholinylmethyl,  
5            methylpiperdinylmethyl, methylpiperazinylmethyl,  
              ethyl, propyl, trifluoromethyl, phenoxy,  
              methoxy and ethoxy; and  
wherein R<sup>2</sup> is one or more substituents independently  
selected from H, chloro, fluoro, bromo, amino,  
10            hydroxy, methyl, ethyl, propyl, trifluoromethyl,  
              methoxy, ethoxy, trifluoromethoxy, carboxymethyl,  
              unsubstituted or substituted phenyl and unsubstituted  
              or substituted heteroaryl selected  
              from thienyl, furanyl, pyridyl, imidazolyl, and  
15            pyrazolyl;  
and pharmaceutically acceptable salts thereof.

## 25. A compound of Claim 1 having the formula



20

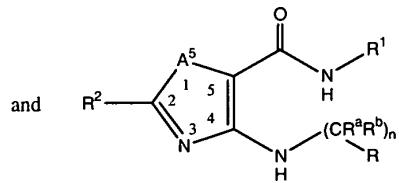
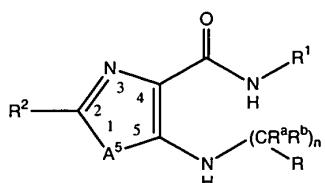
wherein A<sup>5</sup> is selected from S, O and NR<sup>6</sup>;  
wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,  
C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>;  
25            wherein n is 1-2;  
wherein R is selected from  
a) unsubstituted or substituted 5- or 6-membered  
nitrogen-containing heteroaryl, and

- b) unsubstituted or substituted 9- or 10-membered  
fused nitrogen-containing heteroaryl,  
where R is substituted with one or more substituents  
selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-  
haloalkyl and C<sub>1-6</sub>-alkoxy;
- 5       wherein R<sup>1</sup> is selected from unsubstituted or substituted  
aryl,  
5-6 membered heteroaryl and  
9-10 membered fused heteroaryl,
- 10      wherein substituted R<sup>1</sup> is substituted with one or more  
substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally  
substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted  
phenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted  
phenyloxy, benzyl, optionally substituted 5-6 membered  
15      heterocyclyl-C<sub>1-C<sub>2</sub></sub>-alkylenyl, optionally substituted  
heteroaryl, optionally substituted heteroaryloxy, C<sub>1-6</sub>-  
haloalkyl, and C<sub>1-6</sub>-alkoxy;  
wherein R<sup>2</sup> is one or more substituents independently  
selected from
- 20      H,  
halo,  
C<sub>1-6</sub>-alkyl,  
C<sub>1-6</sub>-haloalkyl,  
C<sub>1-6</sub>-alkoxy,  
25      C<sub>1-6</sub>-haloalkoxy,  
C<sub>1-6</sub>-carboxyalkyl,  
unsubstituted or substituted aryl and  
unsubstituted or substituted 5-6 membered  
heteroaryl; and
- 30      wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;  
and pharmaceutically acceptable isomers and salts thereof.

26. Compound of Claim 25 wherein R<sup>a</sup> and R<sup>b</sup> are H;  
wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl,  
pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,  
isoquinolyl, naphthyridinyl and quinozalinyl, where R  
is unsubstituted or substituted with one or more  
5 substituents selected  
from chloro, fluoro, amino, hydroxy, methyl, ethyl,  
propyl, trifluoromethyl, methoxy and ethoxy;  
wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl,  
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,  
10 pyridazinyl, indolyl, isoindolyl, naphthyridinyl,  
quinozalinyl, tetrahydroquinolinyl, indazolyl,  
benzothienyl, benzofuryl, benzimidazolyl,  
benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is  
unsubstituted or substituted with one or more  
15 substituents selected  
from chloro, fluoro, amino, hydroxy, cyclohexyl,  
phenylmethyl, morpholinylmethyl,  
methylpiperdinylmethyl, methylpiperazinylmethyl,  
ethyl, propyl, trifluoromethyl, phenoxy,  
20 methoxy and ethoxy; and  
wherein R<sup>2</sup> is one or more substituents independently  
selected from H, chloro, fluoro, bromo, amino,  
hydroxy, methyl, ethyl, propyl, trifluoromethyl,  
methoxy, ethoxy, trifluoromethoxy, carboxymethyl,  
25 unsubstituted or substituted phenyl and unsubstituted  
or substituted heteroaryl selected  
from thienyl, furanyl, pyridyl, imidazolyl, and  
pyrazolyl;  
and pharmaceutically acceptable salts thereof.  
30

27. A compound of Claim 1 having the formula



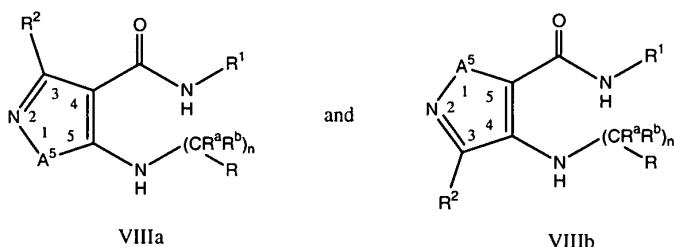
- wherein  $A^5$  is selected from S, O and  $NR^6$ ;
- wherein  $R^a$  and  $R^b$  are independently selected from H, halo,
- 5       $C_{1-4}$ -alkyl and  $-N(R^6)_2$ ;
- wherein n is 1-2;
- wherein R is selected from
- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- 10     b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,
- where R is substituted with one or more substituents selected from halo, amino, hydroxy,  $C_{1-6}$ -alkyl,  $C_{1-6}$ -haloalkyl and  $C_{1-6}$ -alkoxy;
- 15     wherein  $R^1$  is selected from unsubstituted or substituted aryl,  
5-6 membered heteroaryl and  
9-10 membered fused heteroaryl,
- wherein substituted  $R^1$  is substituted with one or more
- 20     substituents selected from halo,  $C_{1-6}$ -alkyl, optionally substituted  $C_{3-6}$ -cycloalkyl, optionally substituted phenyl,  $C_{1-6}$ -haloalkoxy, optionally substituted phenoxy, benzyl, optionally substituted 5-6 membered heterocyclyl- $C_1-C_2$ -alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy,  $C_{1-6}$ -haloalkyl, and  $C_{1-6}$ -alkoxy;
- 25     wherein  $R^2$  is one or more substituents independently selected from
- H,
- 30     halo,

C<sub>1-6</sub>-alkyl,  
C<sub>1-6</sub>-haloalkyl,  
C<sub>1-6</sub>-alkoxy,  
C<sub>1-6</sub>-haloalkoxy,  
5 C<sub>1-6</sub>-carboxyalkyl,  
unsubstituted or substituted aryl and  
unsubstituted or substituted 5-6 membered  
heteroaryl; and  
wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;  
10 and pharmaceutically acceptable isomers and salts thereof.

28. Compound of Claim 27 wherein R<sup>a</sup> and R<sup>b</sup> are H;  
wherein n is 1-2;  
wherein R is selected from 4-pyridyl, pyrimidinyl,  
15 pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,  
isoquinolyl, naphthyridinyl and quinozalinyl, where R  
is unsubstituted or substituted with one or more  
substituents selected  
from chloro, fluoro, amino, hydroxy, methyl, ethyl,  
20 propyl, trifluoromethyl, methoxy and ethoxy;  
wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl,  
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,  
pyridazinyl, indolyl, isoindolyl, naphthyridinyl,  
quinozalinyl, tetrahydroquinolinyl, indazolyl,  
25 benzothienyl, benzofuryl, benzimidazolyl,  
benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is  
unsubstituted or substituted with one or more  
substituents selected  
from chloro, fluoro, amino, hydroxy, cyclohexyl,  
30 phenylmethyl, morpholinylmethyl,  
methylpiperdinylmethyl, methylpiperazinylmethyl,  
ethyl, propyl, trifluoromethyl, phenoxy,  
methoxy and ethoxy; and

wherein R<sup>2</sup> is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

29. Compound of Claim 1 of the formulas



15 wherein A<sup>5</sup> is selected from S, O and NR<sup>6</sup>;  
wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,  
C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>;  
wherein n is 1-2;  
wherein R is selected from  
20 a) unsubstituted or substituted 5- or 6-membered  
nitrogen-containing heteroaryl, and  
b) unsubstituted or substituted 9- or 10-membered  
fused nitrogen-containing heteroaryl,  
where R is substituted with one or more substituents  
25 selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-  
haloalkyl and C<sub>1-6</sub>-alkoxy;  
wherein R<sup>1</sup> is selected from unsubstituted or substituted  
aryl,  
5-6 membered heteroaryl and  
30 9-10 membered fused heteroaryl,

wherein substituted R<sup>1</sup> is substituted with one or more  
substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally  
substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted  
phenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted  
phenyloxy, benzyl, optionally substituted 5-6 membered  
heterocycl-C<sub>1-C<sub>2</sub></sub>-alkylenyl, optionally substituted  
heteroaryl, optionally substituted heteroaryloxy, C<sub>1-6</sub>-  
haloalkyl, and C<sub>1-6</sub>-alkoxy;

5           wherein R<sup>2</sup> is one or more substituents independently  
10           selected from

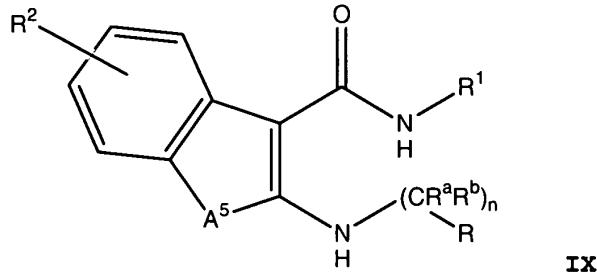
H,  
halo,  
C<sub>1-6</sub>-alkyl,  
C<sub>1-6</sub>-haloalkyl,  
15           C<sub>1-6</sub>-alkoxy,  
C<sub>1-6</sub>-haloalkoxy,  
C<sub>1-6</sub>-carboxyalkyl,  
unsubstituted or substituted aryl and  
unsubstituted or substituted 5-6 membered  
20           heteroaryl; and

wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;  
and pharmaceutically acceptable isomers and salts thereof.

30. Compound of Claim 29 wherein R<sup>a</sup> and R<sup>b</sup> are H;  
25           wherein n is 1-2;  
wherein R is selected from 4-pyridyl, pyrimidinyl,  
pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,  
isoquinolyl, naphthyridinyl and quinozalinyl, where R  
is unsubstituted or substituted with one or more  
30           substituents selected  
from chloro, fluoro, amino, hydroxy, methyl, ethyl,  
propyl, trifluoromethyl, methoxy and ethoxy;  
wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl,  
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,

pyridazinyl, indolyl, isoindolyl, naphthyridinyl,  
 quinozalinyl, tetrahydroquinolinyl, indazolyl,  
 benzothienyl, benzofuryl, benzimidazolyl,  
 benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is  
 5        unsubstituted or substituted with one or more  
 substituents selected  
 from chloro, fluoro, amino, hydroxy, cyclohexyl,  
 phenylmethyl, morpholinylmethyl,  
 methylpiperidinylmethyl, methylpiperazinylmethyl,  
 10      ethyl, propyl, trifluoromethyl, phenoxy,  
 methoxy and ethoxy; and  
 wherein R<sup>2</sup> is one or more substituents independently  
 selected from H, chloro, fluoro, bromo, amino,  
 hydroxy, methyl, ethyl, propyl, trifluoromethyl,  
 15      methoxy, ethoxy, trifluoromethoxy, carboxymethyl,  
 unsubstituted or substituted phenyl and unsubstituted  
 or substituted heteroaryl selected  
 from thienyl, furanyl, pyridyl, imidazolyl, and  
 pyrazolyl;  
 20      and pharmaceutically acceptable salts thereof.

## 31. Compound of Claim 1 of the formula



25      wherein A<sup>5</sup> is selected from S, O and NR<sup>6</sup>;  
 wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo,  
 C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>;  
 wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

5 where R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl and C<sub>1-6</sub>-alkoxy;

wherein R<sup>1</sup> is selected from unsubstituted or substituted

10 aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally

15 substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted

phenyloxy, benzyl, optionally substituted 5-6 membered heterocycl-C<sub>1-C<sub>2</sub></sub>-alkylenyl, optionally substituted

heteroaryl, optionally substituted heteroaryloxy, C<sub>1-6</sub>-

20 haloalkyl, and C<sub>1-6</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

H,

halo,

25 C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,

30 unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered

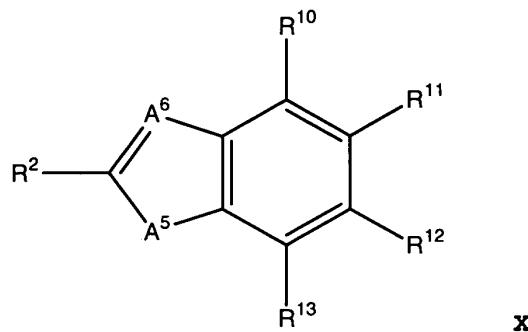
heteroaryl; and

wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

32. Compound of Claim 31 wherein R<sup>a</sup> and R<sup>b</sup> are H;  
wherein n is 1-2;  
wherein R is selected from 4-pyridyl, pyrimidinyl,  
5       pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,  
         isoquinolyl, naphthyridinyl and quinozalinyl, where R  
         is unsubstituted or substituted with one or more  
         substituents selected  
         from chloro, fluoro, amino, hydroxy, methyl, ethyl,  
10       propyl, trifluoromethyl, methoxy and ethoxy;  
         wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl,  
         naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,  
         pyridazinyl, indolyl, isoindolyl, naphthyridinyl,  
         quinozalinyl, tetrahydroquinolinyl, indazolyl,  
15       benzothienyl, benzofuryl, benzimidazolyl,  
         benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is  
         unsubstituted or substituted with one or more  
         substituents selected  
         from chloro, fluoro, amino, hydroxy, cyclohexyl,  
20       phenylmethyl, morpholinylmethyl,  
         methylpiperdinylmethyl, methylpiperazinylmethyl,  
         ethyl, propyl, trifluoromethyl, phenoxy,  
         methoxy and ethoxy; and  
         wherein R<sup>2</sup> is one or more substituents independently  
25       selected from H, chloro, fluoro, bromo, amino,  
         hydroxy, methyl, ethyl, propyl, trifluoromethyl,  
         methoxy, ethoxy, trifluoromethoxy, carboxymethyl,  
         unsubstituted or substituted phenyl and unsubstituted  
         or substituted heteroaryl selected  
30       from thienyl, furanyl, pyridyl, imidazolyl, and  
         pyrazolyl;  
         and pharmaceutically acceptable salts thereof.

33. Compound of Claim 1 of the formula



wherein A<sup>5</sup> is selected from S, O and NR<sup>6</sup>;

5 wherein A<sup>6</sup> is selected from CR<sup>2</sup> and N;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

10 where R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl and C<sub>1-6</sub>-alkoxy;

wherein R<sup>1</sup> is selected from unsubstituted or substituted 15 aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally

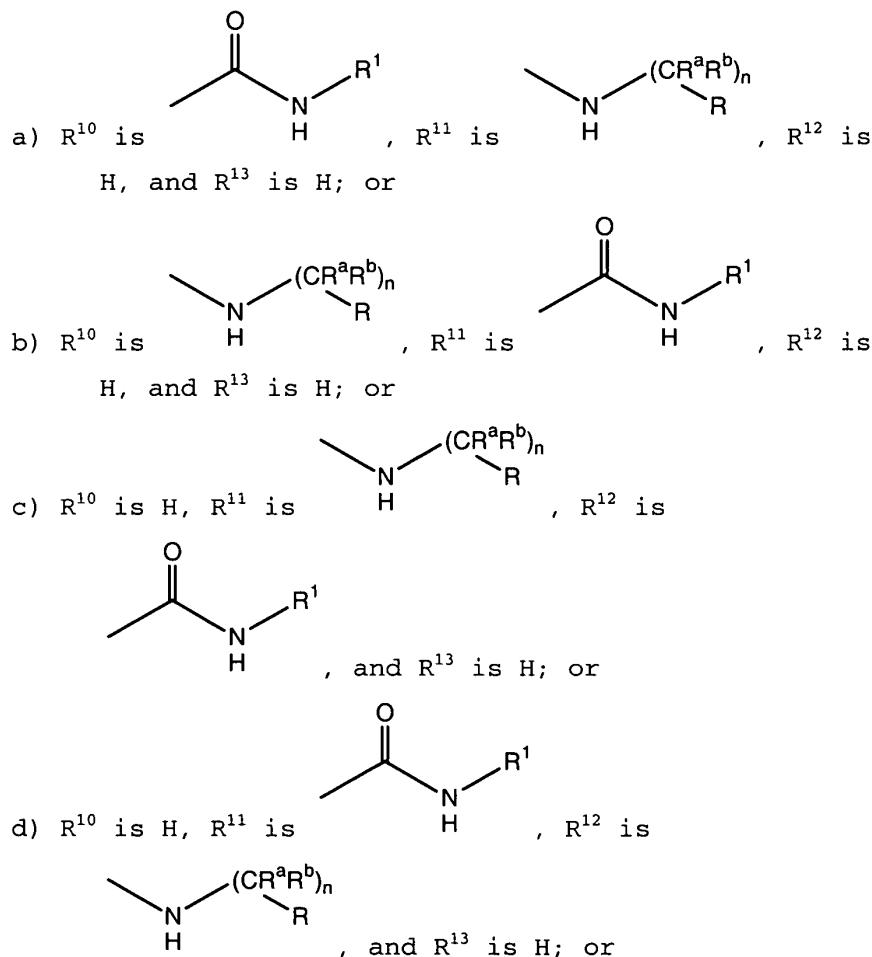
20 substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted phenoxy, benzyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1-C<sub>2</sub></sub>-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C<sub>1-6</sub>-haloalkyl, and C<sub>1-6</sub>-alkoxy;

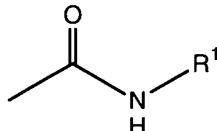
25 wherein R<sup>2</sup> is one or more substituents independently selected from

H,

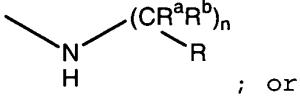
halo,  
 $C_{1-6}$ -alkyl,  
 $C_{1-6}$ -haloalkyl,  
 $C_{1-6}$ -alkoxy,  
5            $C_{1-6}$ -haloalkoxy,  
           $C_{1-6}$ -carboxyalkyl,  
          unsubstituted or substituted aryl and  
          unsubstituted or substituted 5-6 membered  
          heteroaryl; and  
10   wherein  $R^6$  is H or  $C_{1-6}$ -alkyl;  
          wherein

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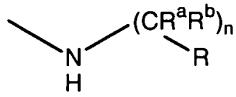




e) R<sup>10</sup> is H, R<sup>11</sup> is H, R<sup>12</sup> is



f) R<sup>10</sup> is H, R<sup>11</sup> is H, R<sup>12</sup> is



5

wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>; and

wherein n is 1-2;

and pharmaceutically acceptable isomers and salts thereof.

10

34. Compound of Claim 33 wherein R<sup>a</sup> and R<sup>b</sup> are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,

15 isoquinolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

20 wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalinyl, tetrahydroquinolinyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is

25

unsubstituted or substituted with one or more  
substituents selected

from chloro, fluoro, amino, hydroxy, cyclohexyl,  
phenylmethyl, morpholinylmethyl,

5           methylpiperidinylmethyl, methylpiperazinylmethyl,  
ethyl, propyl, trifluoromethyl, phenoxy,  
methoxy and ethoxy; and

wherein R<sup>2</sup> is one or more substituents independently  
selected from H, chloro, fluoro, bromo, amino,

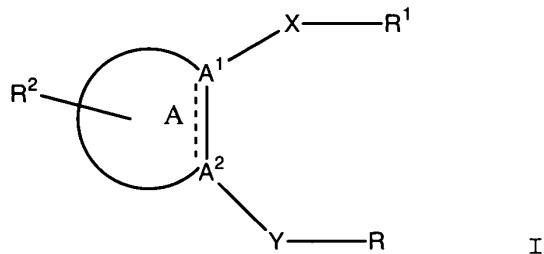
10          hydroxy, methyl, ethyl, propyl, trifluoromethyl,  
methoxy, ethoxy, trifluoromethoxy, carboxymethyl,  
unsubstituted or substituted phenyl and unsubstituted  
or substituted heteroaryl selected  
from thienyl, furanyl, pyridyl, imidazolyl, and  
15          pyrazolyl;

and pharmaceutically acceptable salts thereof.

35. A pharmaceutical composition comprising a  
pharmaceutically-acceptable carrier and a compound as in any  
20          of Claims 1-34.

36. A method of treating cancer in a subject, said  
method comprising administering an effective amount of a  
compound of formula I

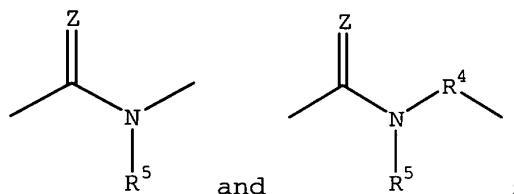
25



wherein each of A<sup>1</sup> and A<sup>2</sup> is independently C or N;  
wherein ring A is selected from

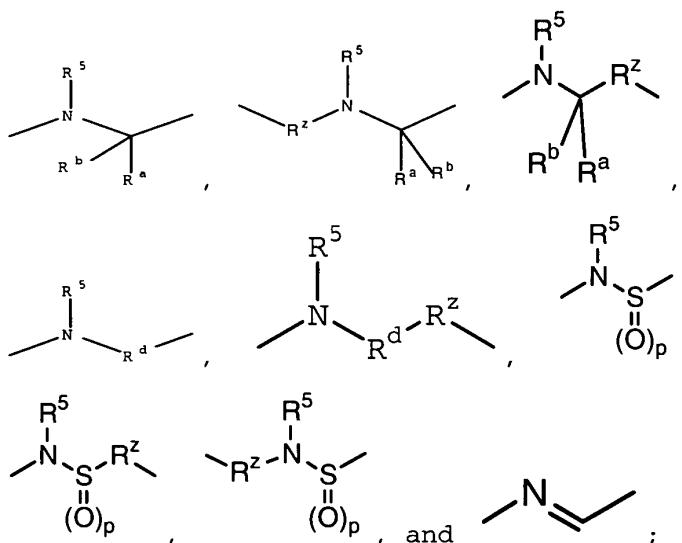
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- 5 d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from



- 10 wherein Z is oxygen or sulfur;

wherein Y is selected from



- 15 wherein p is 0 to 2,

wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, cyano, -NHR<sup>6</sup> and C<sub>1-4</sub>-alkyl substituted with R<sup>2</sup>, or wherein R<sup>a</sup> and R<sup>b</sup> together form C<sub>3</sub>-C<sub>6</sub> cycloalkyl;

wherein R<sup>z</sup> is selected from C<sub>1</sub>-C<sub>4</sub> alkylene, where one of the

- 20 CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-;

wherein R<sup>d</sup> is cycloalkyl;

wherein R is selected from

a) substituted or unsubstituted 5-6 membered heterocyclyl, and

5 b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and lower alkynyl;

10

wherein R<sup>1</sup> is selected from

15 a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 5-6 membered heterocyclyl,

c) substituted or unsubstituted 9-11 membered fused heterocyclyl,

20 d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>4</sub>

25

alkylenylR<sup>14</sup>), -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -

NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl,

optionally substituted 5-6 membered heterocyclyl,

optionally substituted phenyl, lower alkyl

substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and

30

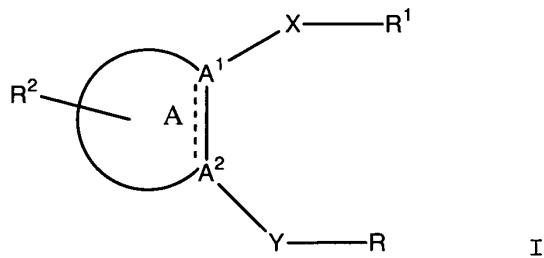
lower alkynyl;

wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -COR<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted phenylalkylenyl, optionally

substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl, and lower haloalkyl;  
5 wherein R<sup>3</sup> is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, and lower haloalkyl;  
wherein R<sup>4</sup> is independently selected from C<sub>2</sub>-C<sub>4</sub> alkylene, 10 C<sub>2</sub>-C<sub>4</sub> alkenylenyl and C<sub>2</sub>-C<sub>4</sub> alkynylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; wherein R<sup>5</sup> is selected from H, lower alkyl, phenyl and lower aralkyl; and  
15 wherein R<sup>6</sup> is selected from H or C<sub>1-6</sub>-alkyl; wherein R<sup>14</sup> is selected from H, phenyl, 5-6 membered heterocyclyl and C<sub>3</sub>-C<sub>6</sub> cycloalkyl; and pharmaceutically acceptable salts thereof; provided A is not naphthyl when X is -C(O)NH- and when R<sup>1</sup> is phenyl when Y is -NCH<sub>2</sub>- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH<sub>2</sub>-.

37. The method of Claim 36 comprising a combination 25 with a compound selected from antibiotic-type agents, alkylating agents, antimetabolite agents, hormonal agents, immunological agents, interferon-type agents and miscellaneous agents.

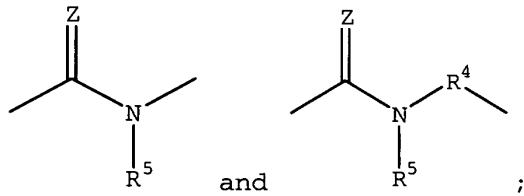
30 38. A method of treating angiogenesis in a subject, said method comprising administering an effective amount of a compound as in any of Formula I



wherein each of  $A^1$  and  $A^2$  is independently C or N;  
wherein ring A is selected from

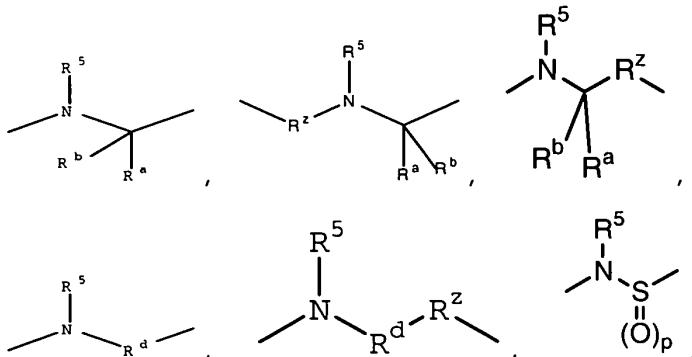
- 5      a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- 10     e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

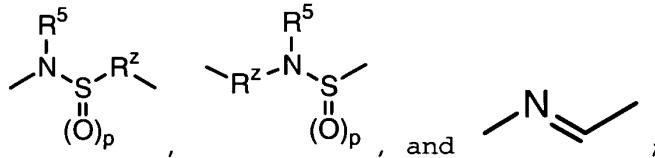
wherein X is selected from



wherein Z is oxygen or sulfur;

- 15    wherein Y is selected from





wherein p is 0 to 2,

wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, cyano, -NHR<sup>6</sup> and C<sub>1-4</sub>-alkyl substituted with R<sup>2</sup>, or wherein  
 5 R<sup>a</sup> and R<sup>b</sup> together form C<sub>3-C<sub>6</sub></sub> cycloalkyl;  
 wherein R<sup>z</sup> is selected from C<sub>1-C<sub>4</sub></sub> alkylene, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-;

wherein R<sup>d</sup> is cycloalkyl;

10 wherein R is selected from

- a) substituted or unsubstituted 5-6 membered heterocyclyl, and
- b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

15 wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally

20 substituted phenyl, lower alkyl substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R<sup>1</sup> is selected from

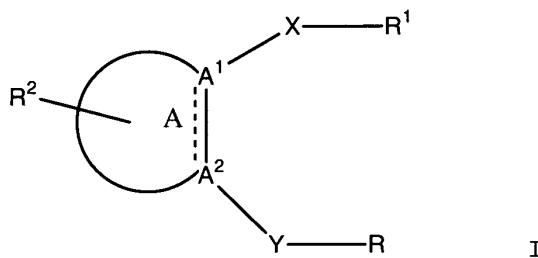
- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
- d) cycloalkyl, and
- e) cycloalkenyl,

30 wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>,

- 5                   -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>4</sub> alkylenylR<sup>14</sup>), -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl,  
10                   optionally substituted phenyl, lower alkyl substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and lower alkynyl;  
wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -COR<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower  
15                   carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;  
wherein R<sup>3</sup> is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, and lower haloalkyl;  
20                   wherein R<sup>4</sup> is independently selected from C<sub>2</sub>-C<sub>4</sub> alkylenyl, C<sub>2</sub>-C<sub>4</sub> alkenylenyl and C<sub>2</sub>-C<sub>4</sub> alkynylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-;  
wherein R<sup>5</sup> is selected from H, lower alkyl, phenyl and lower  
25                   aralkyl; and  
wherein R<sup>6</sup> is selected from H or C<sub>1-6</sub>-alkyl;  
wherein R<sup>14</sup> is selected from H, phenyl, 5-6 membered heterocyclyl and C<sub>3</sub>-C<sub>6</sub> cycloalkyl;  
and pharmaceutically acceptable salts thereof;  
30                   provided A is not naphthyl when X is -C(O)NH- and when R<sup>1</sup> is phenyl when Y is -NCH<sub>2</sub>- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH<sub>2</sub>-.

39. A compound as in any of Claims 1-34 for use in a method of therapeutic treatment for the human or animal body.

5        40. A method of treating KDR-related disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I

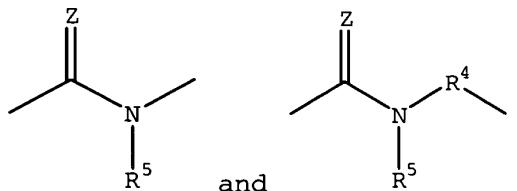


10

wherein each of A<sup>1</sup> and A<sup>2</sup> is independently C or N;  
wherein ring A is selected from

- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- 15        c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

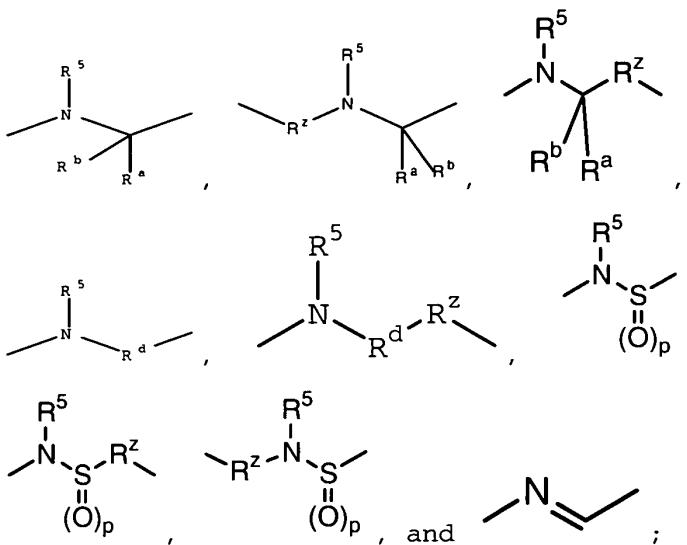
20        wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from

1000-1456-2  
= 0420 002



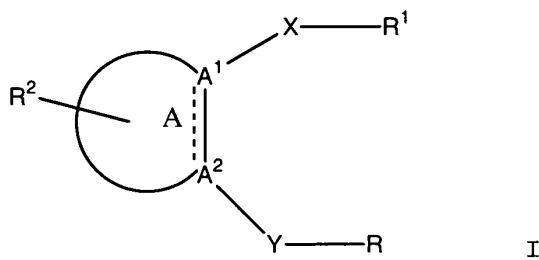
wherein p is 0 to 2,

- 5 wherein  $R^a$  and  $R^b$  are independently selected from H, halo, cyano,  $-NHR^6$  and  $C_{1-4}$ -alkyl substituted with  $R^2$ , or wherein  $R^a$  and  $R^b$  together form  $C_3-C_6$  cycloalkyl;  
wherein  $R^z$  is selected from  $C_1-C_4$  alkyl enyl, where one of the  $CH_2$  groups may be substituted with an oxygen atom or an -  
10  $NH-$ ;
- wherein  $R^d$  is cycloalkyl;  
wherein R is selected from  
a) substituted or unsubstituted 5-6 membered heterocyclyl, and
- 15 b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;  
wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-SO_2R^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  
20  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with  $R^2$ , cyano, nitro, lower alkenyl and lower alkynyl;  
wherein  $R^1$  is selected from  
25 a) substituted or unsubstituted 6-10 membered aryl,

- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
- 5 d) cycloalkyl, and
- e) cycloalkenyl,  
wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>4</sub> alkyleneR<sup>14</sup>), -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and
- 10 lower alkynyl;
- 15 wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -COR<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;
- 20 wherein R<sup>3</sup> is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, and lower haloalkyl;
- 25 wherein R<sup>4</sup> is independently selected from C<sub>2</sub>-C<sub>4</sub> alkylene, C<sub>2</sub>-C<sub>4</sub> alkenylenyl and C<sub>2</sub>-C<sub>4</sub> alkynylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-;
- 30 wherein R<sup>5</sup> is selected from H, lower alkyl, phenyl and lower aralkyl; and
- wherein R<sup>6</sup> is selected from H or C<sub>1-6</sub>-alkyl;

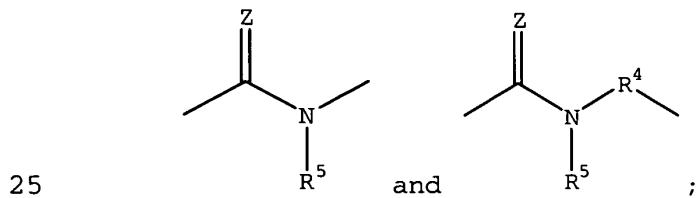
wherein  $R^{14}$  is selected from H, phenyl, 5-6 membered heterocyclyl and  $C_3-C_6$  cycloalkyl;  
 and pharmaceutically acceptable salts thereof;  
 provided A is not naphthyl when X is  $-C(O)NH-$  and when  $R^1$  is  
 5 phenyl when Y is  $-NCH_2-$  and when R is 4-pyridyl; and further  
 provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is  $-NHCH_2-$ .

41. A method of treating proliferative disorders in a  
 10 mammal, said method comprising administering an effective amount of a compound of Formula I



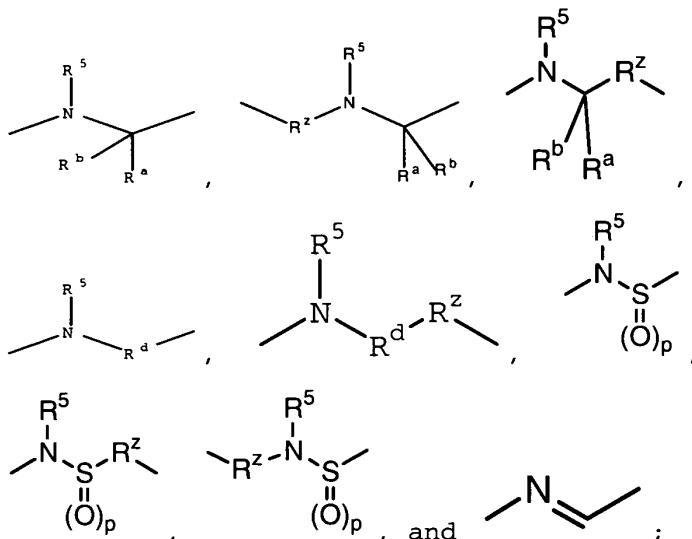
15 wherein each of  $A^1$  and  $A^2$  is independently C or N;  
 wherein ring A is selected from  
 a) 5- or 6-membered partially saturated heterocyclyl,  
 b) 5- or 6-membered heteroaryl,  
 c) 9- or 10-membered fused partially saturated  
 20 heterocyclyl,  
 d) 9-, 10- or 11-membered fused heteroaryl;  
 e) naphthyl, and  
 f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from



25 wherein Z is oxygen or sulfur;

wherein Y is selected from



5 wherein p is 0 to 2,

wherein  $\text{R}^a$  and  $\text{R}^b$  are independently selected from H, halo, cyano,  $-\text{NHR}^6$  and  $\text{C}_{1-4}$ -alkyl substituted with  $\text{R}^2$ , or wherein  $\text{R}^a$  and  $\text{R}^b$  together form  $\text{C}_3\text{-C}_6$  cycloalkyl; wherein  $\text{R}^z$  is selected from  $\text{C}_{1-4}$  alkylene, where one of the  $\text{CH}_2$  groups may be substituted with an oxygen atom or an  $-\text{NH}-$ ;

10 wherein  $\text{R}^d$  is cycloalkyl;

wherein R is selected from

a) substituted or unsubstituted 5-6 membered heterocyclyl, and

15 b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo,  $-\text{OR}^3$ ,

20  $-\text{SR}^3$ ,  $-\text{SO}_2\text{R}^3$ ,  $-\text{CO}_2\text{R}^3$ ,  $-\text{CONR}^3\text{R}^3$ ,  $-\text{COR}^3$ ,  $-\text{NR}^3\text{R}^3$ ,  $-\text{SO}_2\text{NR}^3\text{R}^3$ ,  $-\text{NR}^3\text{C}(\text{O})\text{OR}^3$ ,  $-\text{NR}^3\text{C}(\text{O})\text{R}^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with  $\text{R}^2$ , cyano, nitro, lower alkenyl and lower alkynyl;

25 wherein  $\text{R}^1$  is selected from

- a) substituted or unsubstituted 6-10 membered aryl,  
b) substituted or unsubstituted 5-6 membered  
heterocyclyl,  
c) substituted or unsubstituted 9-11 membered fused  
5 heterocyclyl,  
d) cycloalkyl, and  
e) cycloalkenyl,  
wherein substituted R<sup>1</sup> is substituted with one or more  
substituents independently selected from halo, -OR<sup>3</sup>,  
10 -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>4</sub>  
alkylenylR<sup>14</sup>), -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -  
NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl,  
optionally substituted 5-6 membered heterocyclyl,  
optionally substituted phenyl, lower alkyl  
15 substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and  
lower alkynyl;  
wherein R<sup>2</sup> is one or more substituents independently selected  
from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -COR<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -  
NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl,  
20 optionally substituted phenylalkylenyl, optionally  
substituted 5-6 membered heterocyclyl, optionally  
substituted heteroarylalkylenyl, optionally substituted  
phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower  
carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower  
25 aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;  
wherein R<sup>3</sup> is selected from H, lower alkyl, phenyl, 5-6  
membered heterocyclyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, and lower  
haloalkyl;  
wherein R<sup>4</sup> is independently selected from C<sub>2</sub>-C<sub>4</sub> alkylenyl,  
30 C<sub>2</sub>-C<sub>4</sub> alkenylenyl and C<sub>2</sub>-C<sub>4</sub> alkynylenyl, where one of the  
CH<sub>2</sub> groups may be substituted with an oxygen atom or an -  
NH-;  
wherein R<sup>5</sup> is selected from H, lower alkyl, phenyl and lower  
aralkyl; and

wherein R<sup>6</sup> is selected from H or C<sub>1-6</sub>-alkyl;

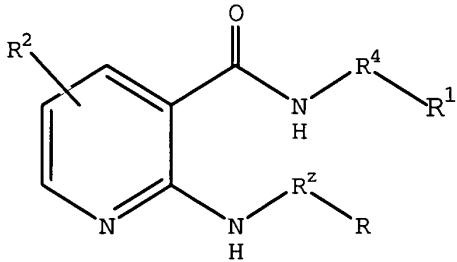
wherein R<sup>14</sup> is selected from H, phenyl, 5-6 membered heterocyclyl and C<sub>3</sub>-C<sub>6</sub> cycloalkyl;

and pharmaceutically acceptable salts thereof;

5 provided A is not naphthyl when X is -C(O)NH- and when R<sup>1</sup> is phenyl when Y is -NCH<sub>2</sub>- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH<sub>2</sub>-.

10 42. Method of Claim 12 wherein the disorder is inflammation or an inflammation-related disorder.

43. A compound of Claim 1 having Formula II'



15

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

20 b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, oxo, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy,

25 optionally substituted heterocyclyl-C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkylamino, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-

C<sub>1-6</sub>-alkoxy, and optionally substituted heterocyclyl-C<sub>2-4</sub>-alkynyl;

wherein R<sup>1</sup> is selected from unsubstituted or substituted aryl,

5 cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R<sup>1</sup> is substituted with one or more

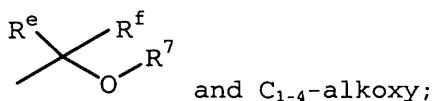
10 substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-C<sub>4</sub></sub>-alkylenyl, C<sub>1-2</sub>-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-C<sub>4</sub></sub>-alkyl, optionally substituted 4-6

15 membered heterocyclyl-C<sub>2-C<sub>4</sub></sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenoxy, optionally substituted 4-6 membered heterocyclxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-C<sub>4</sub></sub>-alkoxy, optionally

20 substituted 4-6 membered heterocyclsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclcarbonyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-

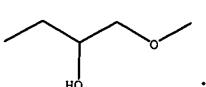
25 haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy, oxo, cyano, -NHC(O)NH<sub>2</sub>, alkylcarbonylamino, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl,

30 C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl,



wherein R<sup>2</sup> is one or more substituents independently selected from

H,  
halo,  
hydroxy,  
amino,  
5 C<sub>1-6</sub>-alkyl,  
C<sub>1-6</sub>-haloalkyl,  
C<sub>1-6</sub>-alkoxy,  
C<sub>1-2</sub>-alkylamino,  
aminosulfonyl,  
10 C<sub>3-6</sub>-cycloalkyl,  
cyano,  
C<sub>1-2</sub>-hydroxyalkyl,  
nitro,  
C<sub>2-3</sub>-alkenyl,  
15 C<sub>2-3</sub>-alkynyl,  
C<sub>1-6</sub>-haloalkoxy,  
C<sub>1-6</sub>-carboxyalkyl,  
5-6-membered heterocycl-C<sub>1-6</sub>-alkylamino,  
unsubstituted or substituted phenyl and  
20 unsubstituted or substituted 5-6 membered  
heterocycl;  
wherein R<sup>4</sup> is selected from a direct bond, C<sub>1-4</sub>-alkyl, and

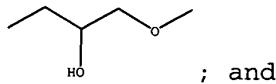


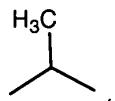
wherein R<sup>z</sup> is selected from C<sub>1-2</sub>-alkyl, C<sub>2-6</sub>-branched alkyl,  
25 C<sub>2-4</sub>-branched haloalkyl, amino-C<sub>1-4</sub>-alkyl and C<sub>1-2</sub>-  
alkylamino-C<sub>1-2</sub>-alkyl;  
wherein R<sup>e</sup> and R<sup>f</sup> are independently selected from H and C<sub>1-2</sub>-  
haloalkyl; and  
wherein R<sup>7</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally  
30 substituted phenyl, optionally substituted phenyl-C<sub>1-3</sub>-  
alkyl, optionally substituted 4-6 membered  
heterocycl, optionally substituted 4-6 membered

heterocyclyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-2</sub>-alkyl and C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl; provided R<sup>2</sup> is not H, or provided R<sup>1</sup> is not heteroaryl or aryl, or provided R is substituted with optionally substituted heterocyclyl-C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkylamino, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkoxy, or optionally substituted heterocyclyl-C<sub>2-4</sub>-alkynyl, or provided R<sup>1</sup> is substituted with optionally substituted phenoxy, optionally substituted 5-6 membered heterocyclyloxy, optionally substituted 5-6 membered heterocyclsulfonyl, optionally substituted 5-6 membered heterocycllamino, optionally substituted 5-6 membered heterocyclcarbonyl, optionally substituted 5-6 membered heterocycl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, or C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy; further provided R is not 3-pyridyl when R<sup>5</sup> is CH<sub>2</sub>; and pharmaceutically acceptable isomers and derivatives thereof.

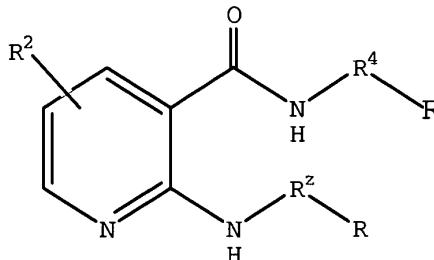
44. Compound of Claim 43 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl,

naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl,  
thiadiazolyl, thiaryl, pyridyl, pyrimidinyl, pyridazinyl,  
1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isouquinolyl,  
isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-  
5 indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl,  
2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-  
1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl,  
indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl,  
benzothienyl, benzofuryl, dihydro-benzimidazolyl,  
10 benzimidazolyl, benzoxazolyl and benzthiazolyl, where R<sup>1</sup> is  
unsubstituted or substituted with one or more substituents  
selected from bromo, chloro, fluoro, iodo, nitro, amino,  
cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo,  
aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl,  
15 phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-  
4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl,  
piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-  
methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-  
20 (4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-  
Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-  
piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-  
4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-  
ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl,  
25 pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl,  
pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-  
pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl,  
pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,  
methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-  
30 methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,  
aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-  
ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-  
methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-  
methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),  
imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,

- TOP SECRET
- hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
- 5 phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R<sup>2</sup> is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
- 10 morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thieryl, furanyl, pyridyl, imidazolyl, and pyrazolyl;
- 15 wherein R<sup>4</sup> is selected from a direct bond, ethyl, butyl, and
- 20
- 25
-   
; and



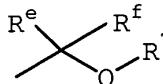
wherein R<sup>2</sup> is selected from methylenyl, ethylenyl, and aminoethylenyl;  
and pharmaceutically acceptable derivatives thereof.



xi

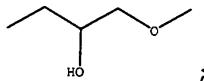
wherein R is selected from

- 5           a) unsubstituted or substituted 5- or 6-membered  
              nitrogen-containing heteroaryl, and  
b) unsubstituted or substituted 9- or 10-membered  
              fused heteroaryl,  
wherein substituted R is substituted with one or more  
10          substituents selected from halo, amino, hydroxy,  
              C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, optionally  
              substituted heterocyclyl-C<sub>1-6</sub>-alkoxy, optionally  
              substituted heterocyclyl-C<sub>1-6</sub>-alkylamino,  
              optionally substituted heterocyclyl-C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-  
              alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-  
15          alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkoxy, and  
              optionally substituted heterocyclyl-C<sub>2-4</sub>-alkynyl;  
wherein R<sup>1</sup> is a ring selected from unsubstituted or  
20          substituted  
              4-6 membered saturated or partially un-saturated  
              monocyclic heterocyclyl,  
              9-10 membered saturated or partially un-saturated  
              bicyclic heterocyclyl, and  
              13-14 membered saturated or partially un-  
              saturated tricyclic heterocyclyl,  
25          wherein substituted R<sup>1</sup> is substituted with one or more  
              substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally  
              substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted  
              phenyl, optionally substituted phenyl-C<sub>1-C<sub>4</sub></sub>-alkylenyl,  
              C<sub>1-2</sub>-haloalkoxy, optionally substituted 4-6 membered

heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl-C<sub>2</sub>-C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocycloloxy, optionally substituted 4-6 membered heterocyclalkoxy, optionally substituted 4-6 membered heterocyclsulfonyl, optionally substituted 4-6 membered heterocyclamino, optionally substituted 4-6 membered heterocyclcarbonyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy, oxo, cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl,  and C<sub>1-4</sub>-alkoxy; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, hydroxy, amino, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, C<sub>1-2</sub>-alkylamino, aminosulfonyl, C<sub>3-6</sub>-cycloalkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro, C<sub>2-3</sub>-alkenyl,

C<sub>2-3</sub>-alkynyl,  
 C<sub>1-6</sub>-haloalkoxy,  
 C<sub>1-6</sub>-carboxyalkyl,  
 5-6-membered heterocyclyl-C<sub>1-6</sub>-alkylamino,  
 5  
 unsubstituted or substituted phenyl and  
 unsubstituted or substituted 5-6 membered  
 heterocyclyl;

wherein R<sup>4</sup> is selected from a direct bond, C<sub>1-4</sub>-alkyl, and



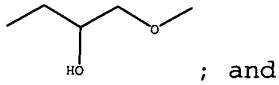
10 wherein R<sup>z</sup> is selected from C<sub>1-2</sub>-alkyl, C<sub>2-6</sub>-branched alkyl,  
 C<sub>2-4</sub>-branched haloalkyl, amino-C<sub>1-4</sub>-alkyl and C<sub>1-2</sub>-  
 alkylamino-C<sub>1-2</sub>-alkyl;  
 wherein R<sup>e</sup> and R<sup>f</sup> are independently selected from H and C<sub>1-2</sub>-  
 haloalkyl; and  
 15 wherein R<sup>7</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally  
 substituted phenyl, optionally substituted phenyl-C<sub>1-3</sub>-  
 alkyl, optionally substituted 4-6 membered  
 heterocyclyl, optionally substituted 4-6 membered  
 heterocyclyl-C<sub>1-C<sub>3</sub></sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-2</sub>-alkyl and C<sub>1-3</sub>-  
 20 alkoxy-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl;  
 and pharmaceutically acceptable isomers and derivatives  
 thereof.

46. A compound of Claim 45 wherein R is selected from  
 25 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl,  
 pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,  
 isoquinolyl, benzotriazolyl, naphthyridinyl and  
 quinoxalinyl, where R is unsubstituted or substituted with  
 one or more substituents selected from chloro, fluoro,  
 30 amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl,  
 dimethylaminopropynyl, 1-methylpiperdinylmethoxy,  
 dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R<sup>1</sup> is  
 selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-

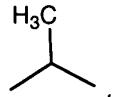
isoquinolyl, 2,3-dihydro-1H-indolyl, dihydro-benzimidazolyl,  
benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-  
fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl,  
and tetrahydroquinolinyl, where R<sup>1</sup> is unsubstituted or  
5 substituted with one or more substituents selected from  
bromo, chloro, fluoro, iodo, nitro, amino, cyano,  
aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-  
methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl,  
morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-  
10 methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-  
ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-  
methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-  
morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-  
piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-  
15 ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl,  
piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-  
1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-  
Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl,  
pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl,  
20 pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl,  
methylsulfonyl, methylcarbonyl, Boc, piperidin-1-  
ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl,  
methoxycarbonyl, aminomethylcarbonyl,  
dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-  
25 5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-  
piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-  
(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-  
trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl,  
propyl, isopropyl, butyl, tert-butyl, sec-butyl,  
30 trifluoromethyl, pentafluoroethyl, nonafluorobutyl,  
dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-  
hydroxymethyl, 1,1-di(trifluoromethyl)-1-  
(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-  
(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl,

trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-

- 5 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-  
pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-  
piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-  
methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy;  
wherein R<sup>2</sup> is selected from H, chloro, fluoro, bromo, amino,  
10 hydroxy, methyl, ethyl, propyl, oxo, dimethylamino,  
aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro,  
propenyl, trifluoromethyl, methoxy, ethoxy,  
trifluoromethoxy, carboxymethyl, morpholinylethylamino,  
propynyl, unsubstituted or substituted phenyl and  
15 unsubstituted or substituted heteroaryl selected from  
thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;  
wherein R<sup>4</sup> is selected from a direct bond, ethyl, butyl, and



; and



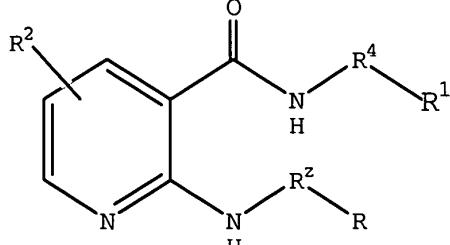
wherein R<sup>z</sup> is selected from methylenyl, ethylenyl

- and pharmaceutically acceptable derivatives thereof.

and pharmaceutically acceptable.

and pharmaceutically acceptable derivatives thereof.

47. A compound of Claim 1 having Formula XI



25

xi

wherein R is selected from

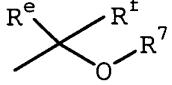
- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

5 where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkylamino, 10 optionally substituted heterocyclyl-C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkoxy, and optionally substituted heterocyclyl-C<sub>2-4</sub>-alkynyl;

15 wherein R<sup>1</sup> is selected from unsubstituted or substituted aryl, cycloalkyl, 5-6 membered heteroaryl and 9-10 membered bicyclic and 13-14 membered 20 tricyclic heterocyclyl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-C4</sub>-alkylenyl, 25 C<sub>1-2</sub>-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-C4</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl-C<sub>2-C4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocycloloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-C4</sub>-alkoxy, optionally substituted 30 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered

heterocyclcarbonyl, optionally substituted 5-6  
 membered heterocycl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-  
 haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy,  
 cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl,  
 5 C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-  
 alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-  
 alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-</sub>

<sub>4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl,  and C<sub>1-4</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently

10 selected from

halo,

hydroxy,

amino,

C<sub>1-6</sub>-alkyl,

15 C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

C<sub>1-2</sub>-alkylamino,

aminosulfonyl,

C<sub>3-6</sub>-cycloalkyl,

20 cyano,

C<sub>1-2</sub>-hydroxyalkyl,

nitro,

C<sub>2-3</sub>-alkenyl,

C<sub>2-3</sub>-alkynyl,

25 C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,

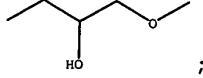
5-6-membered heterocycl-C<sub>1-6</sub>-alkylamino,

unsubstituted or substituted phenyl and

unsubstituted or substituted 5-6 membered

30 heterocycl;

wherein R<sup>4</sup> is selected from a direct bond, C<sub>1-4</sub>-alkyl, and



wherein R<sup>z</sup> is selected from C<sub>1-2</sub>-alkyl, C<sub>2-6</sub>-branched alkyl, C<sub>2-4</sub>-branched haloalkyl, amino-C<sub>1-4</sub>-alkyl and C<sub>1-2</sub>-alkylamino-C<sub>1-2</sub>-alkyl;

wherein R<sup>e</sup> and R<sup>f</sup> are independently selected from H and C<sub>1-2</sub>-haloalkyl; and

wherein R<sup>7</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-3</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-2</sub>-alkyl and C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl; and pharmaceutically acceptable isomers and derivatives thereof.

- 15 48. A compound of Claim 47 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isouinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R<sup>1</sup> is

unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl,

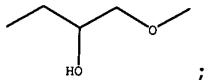
- 5 phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-yethyl, 1-Boc-piperidin-4-yethyl, piperidin-1-yethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, 15 pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, 20 aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, 25 hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-30 di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy,

pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-

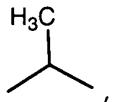
5 ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R<sup>2</sup> is selected from chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino,

10 propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thiienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl; wherein R<sup>4</sup> is selected from a direct bond, ethyl, butyl, and



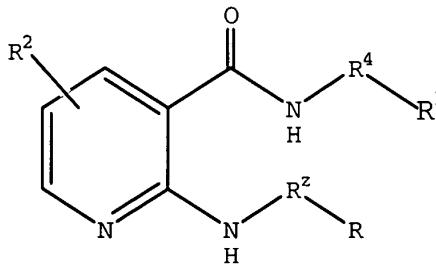
; and



15 wherein R<sup>z</sup> is selected from methylenyl, ethylenyl, and aminoethylenyl;

and pharmaceutically acceptable derivatives thereof.

20 49. A compound of Claim 1 having Formula XI



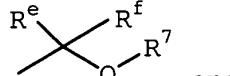
**XI**

wherein R is selected from

25 a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,  
where substituted R is substituted with one or more  
substituents selected from halo, amino, hydroxy,  
5           C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, optionally  
              substituted heterocyclyl-C<sub>1-6</sub>-alkoxy, optionally  
              substituted heterocyclyl-C<sub>1-6</sub>-alkylamino,  
              optionally substituted heterocyclyl-C<sub>1-6</sub>-alkyl, C<sub>1-</sub>  
10          6-alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-  
              alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkoxy, and  
              optionally substituted heterocyclyl-C<sub>2-4</sub>-alkynyl;  
wherein R<sup>1</sup> is selected from unsubstituted or substituted  
aryl,  
cycloalkyl,  
15          5-6 membered heteroaryl and  
              9-10 membered bicyclic and 13-14 membered  
              tricyclic heterocyclyl,  
wherein substituted R<sup>1</sup> is substituted with one or more  
substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally  
20          substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted  
              phenyl, optionally substituted phenyl-C<sub>1-C<sub>4</sub></sub>-alkylenyl,  
              C<sub>1-2</sub>-haloalkoxy, optionally substituted 4-6 membered  
              heterocyclyl-C<sub>1-C<sub>4</sub></sub>-alkyl, optionally substituted 4-6  
              membered heterocyclyl-C<sub>2-C<sub>4</sub></sub>-alkenyl, optionally  
25          substituted 4-6 membered heterocyclyl, optionally  
              substituted phenoxy, optionally substituted 4-6  
              membered heterocyclloxy, optionally substituted 4-6  
              membered heterocyclyl-C<sub>1-C<sub>4</sub></sub>-alkoxy, optionally  
              substituted 4-6 membered heterocyclsulfonyl,  
30          optionally substituted 4-6 membered heterocyclamino,  
              optionally substituted 4-6 membered  
              heterocyclcarbonyl, optionally substituted 5-6  
              membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-  
              haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy,

cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-</sub>



5       <sub>4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl, and C<sub>1-4</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

H,

halo,

10       hydroxy,

amino,

C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

15       C<sub>1-2</sub>-alkylamino,

aminosulfonyl,

C<sub>3-6</sub>-cycloalkyl,

cyano,

C<sub>1-2</sub>-hydroxyalkyl,

20       nitro,

C<sub>2-3</sub>-alkenyl,

C<sub>2-3</sub>-alkynyl,

C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,

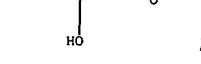
25       5-6-membered heterocyclyl-C<sub>1-6</sub>-alkylamino,

unsubstituted or substituted phenyl and

unsubstituted or substituted 5-6 membered

heterocyclyl;

wherein R<sup>4</sup> is selected from a direct bond, C<sub>1-4</sub>-alkyl, and



30 ;

wherein R<sup>z</sup> is selected from C<sub>1-2</sub>-alkyl, C<sub>2-6</sub>-branched alkyl, C<sub>2-4</sub>-branched haloalkyl, amino-C<sub>1-4</sub>-alkyl and C<sub>1-2</sub>-alkylamino-C<sub>1-2</sub>-alkyl;

wherein R<sup>e</sup> and R<sup>f</sup> are independently selected from H and C<sub>1-2</sub>-haloalkyl; and

wherein R<sup>7</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-3</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1-C<sub>3</sub></sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-2</sub>-alkyl and C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl;

provided R<sup>1</sup> is substituted with optionally substituted phenoxy, optionally substituted 4-6 membered heterocyclxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, or C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy; further provided R is not 3-pyridyl when R<sup>5</sup> is CH<sub>2</sub>;

and pharmaceutically acceptable isomers and derivatives thereof.

50. A compound of Claim 49 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinozaliny, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R<sup>1</sup> is

selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl,

5 isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl,

10 benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R<sup>1</sup> is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-

15 methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-

20 morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-

25 Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl,

30 methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-

trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl,

trifluoromethyl, pentafluoroethyl, nonafluorobutyl,

dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-

5 hydroxymethyl, 1,1-di(trifluoromethyl)-1-

(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-

(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl,

trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-

isopropylamino)ethyl, 2-(N-isopropylamino)ethyl,

10 dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy,

1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-

pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-

piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-

15 methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy;

wherein R<sup>2</sup> is selected from H, chloro, fluoro, bromo, amino,

hydroxy, methyl, ethyl, propyl, oxo, dimethylamino,

aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro,

propenyl, trifluoromethyl, methoxy, ethoxy,

20 trifluoromethoxy, carboxymethyl, morpholinylethylamino,

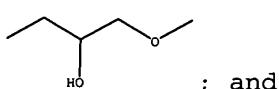
propynyl, unsubstituted or substituted phenyl and

unsubstituted or substituted heteroaryl selected from

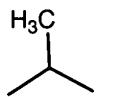
thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

25 wherein R<sup>4</sup> is selected from a direct bond, ethyl, butyl, and



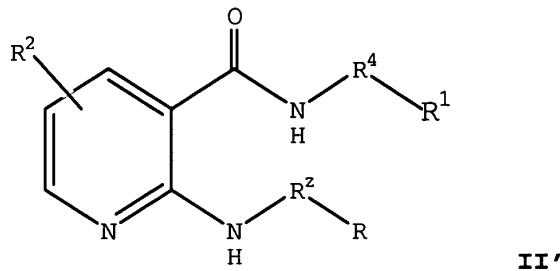
; and



wherein R<sup>2</sup> is selected from methylenyl, ethylenyl,

and aminoethylenyl;

and pharmaceutically acceptable derivatives thereof.



wherein R is selected from

- 5        a) unsubstituted or substituted 5- or 6-membered non-nitrogen-containing heterocyclyl, and
- b) unsubstituted or substituted 9- or 10-membered fused partially unsaturated heterocyclyl,
- wherein R is substituted with one or more substituents
- 10      selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkylamino, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkoxy, and optionally substituted heterocyclyl-C<sub>2-4</sub>-alkynyl;
- wherein R<sup>1</sup> is selected from unsubstituted or substituted aryl,
- 15      cycloalkyl,
- 5-6 membered heteroaryl and
- 9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,
- wherein substituted R<sup>1</sup> is substituted with one or more
- 20      substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-C<sub>4</sub></sub>-alkylenyl, C<sub>1-2</sub>-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-C<sub>4</sub></sub>-alkyl, optionally substituted 4-6

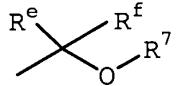
membered heterocyclyl-C<sub>2</sub>-C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6

5 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered

heterocyclylcarbonyl, optionally substituted 5-6

10 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy, oxo, -NHC(O)NH<sub>2</sub>, alkylcarbonylamino, cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-

15 alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl,



and C<sub>1-4</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

20 H,

halo,

hydroxy,

amino,

C<sub>1-6</sub>-alkyl,

25 C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

C<sub>1-2</sub>-alkylamino,

aminosulfonyl,

C<sub>3-6</sub>-cycloalkyl,

30 cyano,

C<sub>1-2</sub>-hydroxyalkyl,

nitro,

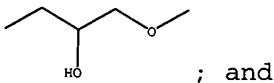
C<sub>2-3</sub>-alkenyl,

- C<sub>2-3</sub>-alkynyl,  
 C<sub>1-6</sub>-haloalkoxy,  
 C<sub>1-6</sub>-carboxyalkyl,  
 5        5-6-membered heterocyclyl-C<sub>1-6</sub>-alkylamino,  
 unsubstituted or substituted phenyl and  
 unsubstituted or substituted 5-6 membered  
 heterocyclyl;  
 wherein R<sup>4</sup> is selected from a direct bond, C<sub>1-4</sub>-alkyl, and
- ;
- 10        wherein R<sup>z</sup> is selected from C<sub>1-2</sub>-alkyl, C<sub>2-6</sub>-branched alkyl,  
 C<sub>2-4</sub>-branched haloalkyl, amino-C<sub>1-4</sub>-alkyl and C<sub>1-2</sub>-  
 alkylamino-C<sub>1-2</sub>-alkyl;  
 wherein R<sup>e</sup> and R<sup>f</sup> are independently selected from H and C<sub>1-2</sub>-  
 haloalkyl; and
- 15        wherein R<sup>7</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally  
 substituted phenyl-C<sub>1-3</sub>-alkyl, optionally substituted  
 4-6 membered heterocyclyl, optionally substituted 4-6  
 membered heterocyclyl-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-  
 alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-2</sub>-alkyl and C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy-  
 20        C<sub>1-3</sub>-alkyl;  
 and pharmaceutically acceptable isomers and derivatives  
 thereof.

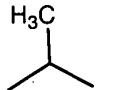
52. A compound of Claim 50 wherein R is selected from  
 25        2,3-dihydrobenzofuryl, and tetrahydropyran, where R is  
 unsubstituted or substituted with one or more substituents  
 selected from chloro, fluoro, amino, hydroxy, methyl, ethyl,  
 propyl, trifluoromethyl, dimethylaminopropynyl, 1-  
 methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy  
 30        and ethoxy; wherein R<sup>1</sup> is selected from phenyl,  
 tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl,  
 isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl,  
 pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl,

1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl,  
indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl,  
quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-  
1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-  
5]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-  
benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl,  
benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and  
benzthiazolyl, where R<sup>1</sup> is unsubstituted or substituted with  
one or more substituents selected from bromo, chloro,  
10 fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-  
aminoethyl, hydroxy, oxo, aminosulfonyl, 4-  
methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl,  
morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-  
methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-  
15 ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-  
methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-  
morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-  
piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-  
ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl,  
20 piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-  
1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-  
Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl,  
pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl,  
pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl,  
25 methylsulfonyl, methylcarbonyl, Boc, piperidin-1-  
ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl,  
methoxycarbonyl, aminomethylcarbonyl,  
dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-  
5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-  
30 piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-  
(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-  
trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl,  
propyl, isopropyl, butyl, tert-butyl, sec-butyl,  
trifluoromethyl, pentafluoroethyl, nonafluorobutyl,

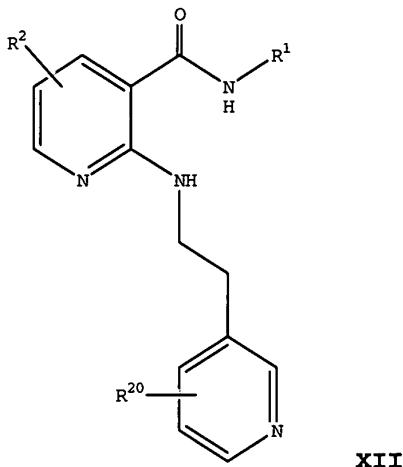
dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, 5 trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 10 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R<sup>2</sup> is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, 15 aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from 20 thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; wherein R<sup>4</sup> is selected from a direct bond, ethyl, butyl, and



wherein R<sup>2</sup> is selected from methylenyl, ethylenyl,  
and aminoethylenyl;  
and pharmaceutically acceptable derivatives thereof.



53. A compound of Claim 1 having Formula XII



wherein R<sup>1</sup> is selected from unsubstituted or substituted aryl,

- 5           cycloalkyl,  
5-6 membered heteroaryl and  
9-10 membered bicyclic and 13-14 membered tricyclic  
heterocyclyl,  
wherein substituted R<sup>1</sup> is substituted with one or more  
0           substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally  
              substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted  
              phenyl, optionally substituted phenyl-C<sub>1-C<sub>4</sub></sub>-alkylenyl,  
              C<sub>1-2</sub>-haloalkoxy, optionally substituted 4-6 membered  
              heterocyclyl-C<sub>1-C<sub>4</sub></sub>-alkyl, optionally substituted 4-6  
15          membered heterocyclyl-C<sub>2-C<sub>4</sub></sub>-alkenyl, optionally  
              substituted 4-6 membered heterocyclyl, optionally  
              substituted phenoxy, optionally substituted 4-6  
              membered heterocyclxy, optionally substituted 4-6  
              membered heterocyclyl-C<sub>1-C<sub>4</sub></sub>-alkoxy, optionally  
              substituted 4-6 membered heterocyclsulfonyl,  
              optionally substituted 4-6 membered heterocyclamino,  
              optionally substituted 4-6 membered  
              heterocyclcarbonyl, optionally substituted 5-6  
              membered heterocyclyl-C<sub>1-C<sub>4</sub></sub>-alkylcarbonyl, C<sub>1-2</sub>-  
              haloalkyl, C<sub>1-C<sub>4</sub></sub>-aminoalkyl, nitro, amino, hydroxy,  
20          25

cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-</sub>

5                   $\begin{array}{c} R^e \\ \diagup \\ O \\ \diagdown \\ R^f \end{array}$                   and C<sub>1-4</sub>-alkoxy;  
                 wherein R<sup>2</sup> is one or more substituents independently selected from

H,

halo,

10                 hydroxy,

amino,

C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

15                 C<sub>1-2</sub>-alkylamino,

aminosulfonyl,

C<sub>3-6</sub>-cycloalkyl,

cyano,

C<sub>1-2</sub>-hydroxyalkyl,

20                 nitro,

C<sub>2-3</sub>-alkenyl,

C<sub>2-3</sub>-alkynyl,

C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,

25                 5-6-membered heterocyclyl-C<sub>1-6</sub>-alkylamino,  
                 unsubstituted or substituted phenyl and  
                 unsubstituted or substituted 5-6 membered  
                 heterocyclyl;

wherein R<sup>e</sup> and R<sup>f</sup> are independently selected from H and C<sub>1-2</sub>-haloalkyl;

30                 wherein R<sup>7</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-3</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl,

optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-2</sub>-alkyl and C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl; and

wherein R<sup>20</sup> is one or more substituents selected from halo,

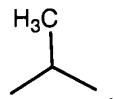
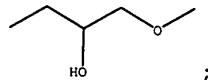
- 5       amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkylamino, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkoxy, and optionally  
10      substituted heterocyclyl-C<sub>2-4</sub>-alkynyl;  
and pharmaceutically acceptable isomers and derivatives thereof.

- 15       54. Compound of Claim 53 wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isouquinolyl,  
20      isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl,  
25      benzothienyl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R<sup>1</sup> is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo,  
30      aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-

(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl,  
5 pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,  
10 methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),  
15 imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperidin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R<sup>2</sup> is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy,

ethoxy, trifluoromethoxy, carboxymethyl,  
morpholinylethylamino, propynyl, unsubstituted or  
substituted phenyl and unsubstituted or substituted  
heteroaryl selected from thienyl,

- 5        furanyl, pyridyl, imidazolyl, and pyrazolyl;  
wherein R<sup>4</sup> is selected from a direct bond, ethyl, butyl, and



wherein R<sup>2</sup> is selected from methylenyl, ethylenyl,  
and aminoethylenyl; and

- 10      wherein R<sup>20</sup> is one or more substituents selected from  
chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl,  
trifluoromethyl, dimethylaminopropynyl, 1-  
methylpiperdinylmethoxy, dimethylaminoethoxyethoxy,  
methoxy and ethoxy;
- 15      and pharmaceutically acceptable derivatives thereof.

55. Compound of Claim 1 and pharmaceutically acceptable  
derivatives thereof selected from

- 20      N-[3-(Isopropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-  
pyridyl)}carboxamide;  
N-(3-Isoquinolyl){2-[(4-pyridylmethyl)amino](3-  
pyridyl)}carboxamide;  
N-[4-Isopropylphenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-  
pyridyl)}carboxamide;
- 25      N-[4-(tert-Butyl)phenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-  
pyridyl)}carboxamide;  
N-[4-(Methylpropyl)phenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-  
pyridyl)}carboxamide;
- 30      {2-[(2-(3-Pyridyl)ethyl)amino](3-pyridyl)}-N-[3-  
(trifluoromethyl)phenyl]carboxamide;

- {2-[ (4-Pyridylmethyl)amino] (3-pyridyl)}-N-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}carboxamide;
- N-[5-(tert-Butyl)isoxazol-3-yl]{2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- 5 N-[5-(tert-Butyl)-1-methylpyrazol-3-yl]{2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N-[4-(tert-Butyl) (1,3-thiazol-2-yl)]{2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- 10 N-[5-(tert-Butyl) (1,3,4-thiadiazol-2-yl)]{2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N-[4-(4-Hydroxybutyl)phenyl]{2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N-[2-(4-Chlorophenyl)ethyl]-2-[ (pyridin-4-ylmethyl)amino]
- 15 (3-pyridyl)carboxamide;
- 5-Bromo-N-[2-(4-chlorophenyl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N-[2-(4-Phenoxyphenyl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 20 N-[2-(4-Methoxyphenyl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N-[2-(3,4-Dimethoxyphenyl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N-[2-(4-Hydroxy-3-ethoxyphenyl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 25 N-[2-(4-Fluorophenyl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N-[2-(4-(tert-Butyl)phenyl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 30 N-[2-(3-Fluorophenyl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N-[2-(3-Chlorophenyl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

- REDACTED
- N*-[2-(3-(Trifluoromethyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 5   *N*-[2-(3-Ethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 10   *N*-[2-(3,4-Dimethylphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 15   *N*-[2-(1,3-Benzodioxol-5-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 20   *N*-[2-(4-Methylphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 25   *N*-[2-(4-Hydroxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 30   *N*-[2-(3,4-Dimethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 35   *N*-[2-(3,4-Dichlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 40   *N*-[2-(4-(Fluorosulfonyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 45   *N*-[2-(3,5-(Dimethoxy)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 50   *N*-[2-(2,4-Dichlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 55   *N*-[2-(2-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 60   *N*-[2-(2-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 65   *N*-[2-(4-(Aminosulphonyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 70   *N*-[2-(2-Thienyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 75   *N*-[2-(Pyridin-2-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

- N*-[2-(Pyridin-3-yl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(Pyridin-4-yl)ethyl]-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 5   *N*- (4-Phenylbutyl)-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*- (2-Hydroxy-3-phenoxypropyl)-2-[ (pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- {6-Chloro-5-fluoro-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}-N-
- 10   [4-(isopropyl)phenyl]carboxamide;
- {5-Fluoro-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}-N- [4-(isopropyl)phenyl]carboxamide;
- 2-[ (Pyridin-4-ylmethyl)amino]-*N*-[4-*tert*-butyl-3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl] (3-pyridyl)carboxamide;
- 15   *N*- (3,4-Dichlorophenyl){6-[ (2-morpholin-4-ylethyl)amino]-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*- [4-(Morpholin-4-ylmethyl)phenyl]{2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*- (4-{2-[ (tert-Butoxy) carbonyl amino] ethyl}phenyl){2-[ (4-
- 20   pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*- [4-(2-Aminoethyl)phenyl]{2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*- [4-(tert-Butyl)-3-nitrophenyl]{2-[ (2-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- 25   *N*- [3-Amino-4-(tert-butyl)phenyl]{2-[ (2-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*- [4-(Isopropyl)phenyl]{2-[ (2-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*- (3-Aminosulfonyl-4-chlorophenyl){2-[ (4-
- 30   pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*- {3-[ (4-Methylpiperazinyl)sulfonyl]phenyl}{2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*- [4-(1,1,2,2,2-Pentafluoroethyl)phenyl]{2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;

- N-[4-(1,1,2,2,3,3,4,4,4-Nonafluorobutyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-[4-(Isopropyl)phenyl]{2-[(2-(1,2,4-triazolyl)ethyl)amino](3-pyridyl)}carboxamide;
- 5 (2-[(2-(2-Pyridylamino)ethyl)amino](3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;
- {2-[(1-(2-Pyridyl)pyrrolidin-3-yl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;
- 2-[(Pyridin-4-ylmethyl)-amino]-N-(3-trifluoromethyl-phenyl)-
- 10 nicotinamide
- {2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-(8-quinolyl)carboxamide hydrochloride;
- N-[4-(4-Chlorophenoxy)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- 15 (2-[(4-Pyridylmethyl)amino](3-pyridyl))-N-(2,3,4-trifluorophenyl)carboxamide hydrochloride;
- N-(2-Naphthyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- N-(2-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- 20 {2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-(5,6,7,8-tetrahydronaphthyl)carboxamide hydrochloride;
- N-(2H-Benzo[3,4-d]1,3-dioxolen-5-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide
- 25 hydrochloride;
- N-Naphthyl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- N-[3-Benzylphenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- 30 N-(Cyclohexylethyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- N-(Cyclohexylethyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

- N-Indan-2-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- N-[4-(tert-Butyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 5 N-[4-(Methylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- Methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- {2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-[4-
- 10 trifluoromethoxy)phenyl]carboxamide;
- N-(4-Ethylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(4-Butylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 15 N-(4-Iodophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-[3-(Hydroxyethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(3-Ethylphenyl){2-[(4-pyridylmethyl)amino](3-
- 20 pyridyl)}carboxamide;
- Ethyl 2-methyl-5-[3-({2-[(4-pyridylmethyl)amino](3-pyridyl)}carbonylamino)phenyl]furan-3-carboxylate;
- N-(3-Phenylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 25 N-[4-Benzylphenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(6-Ethyl(2-pyridyl)){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(6-Propyl(2-pyridyl)){2-[(4-pyridylmethyl)amino](3-
- 30 pyridyl)}carboxamide;
- N-[4-(tert-Butyl)(2-pyridyl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(3-Hydroxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

- N-[4-(Methylethyl)(2-pyridyl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-[3,5-bis(Trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- N-[4-Chloro-3-(trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- N-(3-Chlorophenyl){2-[(2-(4-pyridyl)ethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- N-(4-Phenoxyphenyl){2-[(2-(2-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
- 2-[(Benzo[b]thiophen-3-ylmethyl)amino](3-pyridyl)-N-(4-phenoxyphenyl)carboxamide;
- N-(4-Phenoxyphenyl){2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
- N-[4-(Methylsulfonyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(1-Acetylindolin-6-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-Indolin-6-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-Indol-6-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-Indol-5-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-Indol-7-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-[3-(tert-Butyl)pyrazol-5-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(3-Phenylpyrazol-5-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-{2-[2-(dimethylamino)ethoxy]-5-(tert-butyl)phenyl}{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

- N-[4-(tert-Butyl)-3-(4-methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
N-[3-(4-Methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 5 N-[4-(4-Methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}formamide;  
N-[1-(1-Methyl-(4-piperidyl))indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
N-[1-(1-Methyl-(4-piperidyl))indolin-6-yl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
- 10 N-[1-(2-Piperidylethyl)indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
N-[1-(2-Piperidylacetyl)indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 15 N-[3,3-Dimethyl-1-(1-methyl(4-piperidyl))indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
N-(3,3-Dimethylindolin-6-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 20 N-[3-(1-Methyl-(4-piperidyl))indol-5-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
N-[4-(1,1-Dimethyl-3-morpholin-4-ylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 25 N-[4-(tert-Butyl)phenyl]{2-[(2-[(1-methyl(4-piperidyl))-methoxy](4-pyridyl)methyl]amino}(3-pyridyl)}carboxamide;  
N-(4-Bromo-2-fluorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 30 N-[4-(tert-Butyl)phenyl]{2-[(2-chloro(4-pyridyl)methyl]amino)(3-pyridyl)}carboxamide;  
(2-[(2-[(3-(Dimethylamino)prop-1-ynyl](4-pyridyl)methyl]amino)(3-pyridyl)]-N-[4-(tert-butyl)phenyl]carboxamide;  
(2-[(2-Methoxy(4-pyridyl)methyl]amino)(3-pyridyl))-N-[4-(methylethyl)phenyl]carboxamide;

- N- {3-[3-(Dimethylamino)propyl]-5-(trifluoromethyl)phenyl}-  
(2-[(4-pyridylmethyl)amino](3-pyridyl) carboxamide;
- N- [4-(tert-Butyl)-3-(3-piperidylpropyl)phenyl]{2-[(4-  
pyridylmethyl)amino](3-pyridyl) carboxamide;
- 5 N- [4-(tert-Butyl)-3-(3-pyrrolidinylpropyl)phenyl]{2-[(4-  
pyridylmethyl)amino](3-pyridyl) carboxamide;
- N- [3-((1E)-4-Pyrrolidinylbut-1-enyl)-4-(tert-  
butyl)phenyl]{2-[(4-pyridylmethyl)amino](3-  
pyridyl) carboxamide;
- 10 N- [4-(tert-Butyl)-3-(3-morpholin-4-ylpropyl)phenyl]{2-[(4-  
pyridylmethyl)amino](3-pyridyl) carboxamide;
- N- [1-(2-Morpholin-4-ylethyl)indol-6-yl]{2-[(4-  
pyridylmethyl)amino](3-pyridyl) carboxamide;
- N- [4-(tert-Butyl)phenyl]{2-[(pyrimidin-4-ylmethyl)amino](3-  
pyridyl) carboxamide;
- 15 N- (4-Chlorophenyl){2-[(pyrimidin-4-ylmethyl)amino](3-  
pyridyl) carboxamide;
- {2-[(Pyrimidin-4-ylmethyl)amino](3-pyridyl)}-N-[3-  
(trifluoromethyl)phenyl]carboxamide;
- 20 N- [4-(Isopropyl)phenyl]{4-[(4-pyridylmethyl)amino]pyrimidin-  
5-yl}carboxamide;
- (2-{{(2-{2-[(Dimethylamino)ethoxy]ethoxy}(4-  
pyridyl)methyl}amino)(3-pyridyl)}-N-[4-(tert-  
butyl)phenyl]carboxamide;
- 25 {2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-{4-[2,2,2-  
trifluoro-1-(2-piperidylethoxy)-1-  
(trifluoromethyl)ethyl]phenyl}carboxamide;
- (2-{{(2-{2-[(Dimethylamino)ethoxy]ethoxy}(4-  
pyridyl)methyl}amino}-6-fluoro(3-pyridyl)}-N-[3-  
(trifluoromethyl)phenyl]carboxamide;
- 30 N- [4-(tert-Butyl)phenyl]{6-fluoro-2-[(4-  
pyridylmethyl)amino](3-pyridyl) carboxamide;
- {6-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-[4-  
(isopropyl)phenyl]carboxamide;

- {6-Fluoro-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;
- N- (1-Bromo(3-isoquinolyl)) {6-fluoro-2-[ (4-pyridylmethyl)amino] (3-pyridyl)}-carboxamide;
- 5 N- (4-Phenoxyphenyl) {2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide hydrochloride;
- N- (4-Phenylphenyl) {2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide hydrochloride;
- N- (3-Phenoxyphenyl) {2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide hydrochloride;
- 10 N- (4-Cyclohexylphenyl) {2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide hydrochloride;
- N- (4-Imidazol-1-ylphenyl) {2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- 15 N- (4-Morpholin-4-ylphenyl) {2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide hydrochloride;
- N- (4-Cyanonaphthyl) {2-[ (4-pyridylmethyl)amino] (3-pyridyl)}carboxamide hydrochloride;
- {2-[ (4-Pyridylmethyl)amino] (3-pyridyl)}-N-[4-(trifluoromethyl)phenyl]carboxamide hydrochloride;
- 20 Methyl-4-({2-[ (4-pyridylmethyl)amino]-3-pyridyl}carbonylamino)benzoate hydrochloride;
- N- [4-(Isopropyl)phenyl] {2-[ (4-quinolylmethyl)amino] (3-pyridyl)}carboxamide;
- 25 N- [4-(tert-Butyl)phenyl] {2-[ (6-quinolylmethyl)amino] (3-pyridyl)}carboxamide;
- {2-[ (6-quinolylmethyl)amino] (3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;
- N- (4-chlorophenyl)-3-[ (4-pyridinylmethylene)amino]-4-
- 30 pyridinecarboxamide;
- N- (4-chlorophenyl) {3-[ (4-pyridylmethyl)amino] (2-thienyl)}carboxamide;
- N-phenyl{3-[ (4-pyridylmethyl)amino] (2-thienyl)}carboxamide;

- N- (4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N- (3,4-dichlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}-carboxamide;
- 5 N- (3-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N- (4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-pyridyl)}carboxamide;
- N- (4-chlorophenyl){3-[(6-quinolylmethyl)amino](2-pyridyl)}carboxamide;
- 10 N- (3,4-dichlorophenyl){2-[(6-quinolylmethyl)amino](3-pyridyl)}-carboxamide;
- N- (4-chlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 15 N- (3,4-dichlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N- (3-fluoro-4-methylphenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N- (3,4-dichlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 20 N- (4-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-carboxamide;
- {6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N- (3-fluorophenyl)carboxamide;
- 25 N- (3-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N- (4-chlorophenyl){3-[(4-pyridylmethyl)amino](4-pyridyl)}carboxamide;
- N- (3-fluoro-4-methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 30 N- (4-chlorophenyl){2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;
- N- (4-chlorophenyl){2-[(5-quinolylmethyl)amino](3-pyridyl)}carboxamide;

- N- (4-chlorophenyl){2-[(4-pyridylethyl)amino]-5-(3-thienyl)-  
(3-pyridyl)}carboxamide;
- N- (4-chlorophenyl){5-(4-methoxyphenyl)-2-[(4-  
pyridylmethyl)amino]-(3-pyridyl)}carboxamide;
- 5 N- (4-chlorophenyl){5-bromo-2-[(4-pyridylmethyl)amino]-(3-  
pyridyl)}carboxamide;
- 2-{{2-(1-Isopropyl-azetidin-3-ylmethoxy)-pyridin-4-  
ylmethyl}-amino}-N-(4-trifluoromethyl-phenyl)-  
nicotinamide;
- 10 N- (4-tert-Butyl-phenyl)-2-{{2-(1-isopropyl-azetidin-3-  
ylmethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;  
2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-{4-[1-  
methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-  
nicotinamide;
- 15 N- (1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2,3-  
dihydro-benzofuran-5-ylmethyl)-amino]-nicotinamide;  
2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[3,3-  
dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-  
1H-indol-6-yl]-nicotinamide;
- 20 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[3,3-  
dimethyl-1-(1-methylpiperidin-4-ylmethyl)-2,3-dihydro-  
1H-indol-6-yl]-nicotinamide;  
N- (1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-  
[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-  
ylmethyl}-amino)-nicotinamide;
- 25 2-({2-[2-(1-Methyl-piperidin-4-yl)-ethoxy]-pyridin-4-  
ylmethyl}-amino)-N-(3-trifluoromethyl-phenyl)-  
nicotinamide;
- N- (4-tert-Butyl-phenyl)-2-{{2-ethylpyridin-4-ylmethyl}-  
amino}-nicotinamide;
- 30 N- (4-tert-Butyl-phenyl)-2-({2-[2-(1-methyl-pyrrolidin-2-yl)-  
ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

- 2-({2-[2-(1-Methyl-pyrrolidin-2-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
- N-(4-Pentafluoroethyl-phenyl)-2-{{2-(2-pyrrolidin-1-yl-ethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;
- N-(4-tert-Butyl-phenyl)-2-{{2-(2-pyrrolidin-1-yl-ethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;
- N-[3-(4-Boc-piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 10 N-[3-(4-Boc-piperazine-1-carbonyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3-(4-Boc-piperazine-1-carbonyl)-5-trifluoromethyl-phenyl]-2-(2-pyridin-4-yl-ethylamino)-nicotinamide;
- N-[3-(4-Methyl-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 15 N-[3-(4-Boc-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 2-{{2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl}-amino}-N-(4-trifluoromethyl-phenyl)-nicotinamide;
- 20 N-(4-tert-Butyl-phenyl)-2-{{2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;
- 2-{{2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
- 25 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- 30 N-(1-Boc-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3,3-Dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

- N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- 5 N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 10 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
- N-[3,3-Dimethyl-1-(1-Boc-pyrrolidin-2-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- 15 N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 20 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
- 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;
- 25 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(4-Boc-piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;
- 30 2-{[2-(3-Morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
- (S) 2-{[2-(1-Methyl-pyrrolidin-2-ylmethoxy)-pyridin-4-ylmethyl]-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

N- (3-tert-Butyl-isoxazol-5-yl)-2- {[2- (3-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N- (1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2- {[2- (3-morpholin-4-yl-propylamino)-pyridin-4-ylmethyl]-amino}-nicotinamide;

5 N- (4-tert-Butyl-phenyl)-2- {[2- (3-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N- (4-tert-Butyl-phenyl)-2- {[2- (2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

10 2- {[2- (2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-N- (4-trifluoromethyl-phenyl)-nicotinamide;

2- {[2- (2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-N- (3-trifluoromethyl-phenyl)-nicotinamide;

15 2- {[2- (2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-N- (4-pentafluoroethyl-phenyl)-nicotinamide;

N- (3-tert-Butyl-isoxazol-5-yl)-2- {[2- (2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N- (1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2- {[2- (2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-

20 nicotinamide;

N- (1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2- {[2- (1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

2- {[2- (1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-N- (4-trifluoromethyl-phenyl)-nicotinamide;

25 2- {[2- (1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-N- (4-pentafluoroethyl-phenyl)-nicotinamide;

2- {[2- (1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-N- (4-tert-butyl-phenyl)-nicotinamide;

30 (R) N- (4-tert-Butyl-phenyl)-2- {[2- (1-methyl-pyrrolidin-2-ylmethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

(R) N- [3- (1-Boc-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-2- [(pyridin-4-ylmethyl)-amino]-nicotinamide;

- (R) N-[3-(1-Methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3-(1-Methyl-piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3-(1-Methyl-piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3-tert-Butyl-4-(1-Boc-pyrrolidin-2-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 10 N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-{{2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;
- 2-({2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(4-trifluoromethyl-phenyl)-nicotinamide;
- 15 2-({2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(3-trifluoromethyl-phenyl)-nicotinamide;
- 2-({2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(4-tert-butyl-phenyl)-nicotinamide;
- 20 2-({2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(3-tert-butyl-isoxazol-5-yl)-nicotinamide;
- N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-[3-(1-methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;
- 25 2-[(Pyridin-4-ylmethyl)-amino]-N-(3,9,9-trimethyl-2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluoren-6-yl)-nicotinamide;
- 30 N-[3,3-Dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
2-[(2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl)-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;  
5 N-(3-tert-Butyl-isoxazol-5-yl)-2-[(2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl)-amino]-nicotinamide;  
N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl)-amino]-nicotinamide;  
10 N-(4-tert-Butyl-phenyl)-2-[(2-(3-morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl)-amino]-nicotinamide;  
2-[(2-(3-Morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl)-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;  
15 2-[(2-(3-Morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl)-amino]-N-(3-trifluoromethyl-phenyl)-nicotinamide;  
N-(4-tert-Butyl-phenyl)-2-[(2-(2-(1-methyl-pyrrolidin-2-yl)-ethylamino)-pyrimidin-4-ylmethyl)-amino]-nicotinamide;  
20 20 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-(2-(1-methyl-pyrrolidin-2-yl)-ethylamino)-pyrimidin-4-ylmethyl)-amino]-nicotinamide;  
2-[(2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl)-amino]-N-[3-(1-methyl-piperidin-4-yl)-5-trifluoromethyl-phenyl]-nicotinamide;  
25 N-(3-tert-Butyl-isoxazol-5-yl)-2-[(2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl)-amino]-nicotinamide;  
N-[3-(1-Boc-azetidin-3-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
30 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-azetidin-3-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;  
2-[(Pyridin-4-ylmethyl)-amino]-N-(2,2,4-trimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-nicotinamide;

N-(4-Acetyl-2,2-dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
N-(2,2-Dimethyl-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

5 2-{[2-(1-Benzhydryl-azetidin-3-yloxy)-pyridin-4-ylmethyl]-amino}-N-(4-tert-butyl-phenyl)-nicotinamide.  
N-(4,4-Dimethyl-1-oxo-1,2,3,4-tetrahydro-isouquinolin-7-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
N-(4-tert-Butyl-phenyl)-2-({2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

10 N-(3-tert-Butyl-isoxazol-5-yl)-2-({2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;  
N-(3-trifluoromethylphenyl)-2-({2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

15 2-[(2,3-Dihydro-benzofuran-6-ylmethyl)-amino]-N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-nicotinamide;  
(R) N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

20 (S) N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
N-[4-tert-Butyl-3-(1-methyl-piperidin-4-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

25 N-[3-(1-Methyl-piperidin-4-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
N-[4-Pentafluoroethyl-3-(2-piperidin-1-yl-ethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

30 N-[4-Trifluoromethyl-3-(2-piperidin-1-yl-ethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
(S) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

- (R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- (R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 5 N-(4-tert-Butyl-phenyl)-2-{{[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide; N-(3-Trifluoromethyl-phenyl)-2-{{[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;
- Cu) N-(3-tert-Butyl-isoxazol-5-yl)-2-{{[2-(1-methyl-10 piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide was prepared with pyridine and TEA at 90C.
- N-[3-(3-Piperidin-1-yl-propyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide ;
- 15 N-[3-(3-Morpholin-4-yl-propyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-nicotinamide;
- 20 N-{4-tert-Butyl-3-[2-(1-Boc-piperidin-4-yl)-ethyl]-phenyl}-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide ;
- N-[4-tert-Butyl-3-(1-methyl-azetidin-3-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-(3,3-Dimethyl-1,1-dioxo-2,3-dihydro-1H-1λ-25 benzo[d]isothiazol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[1,1,4,4-Tetramethyl-1,2,3,4-tetrahydro-naphth-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-{4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 30 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-{4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-nicotinamide;
- N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

5 N-(2,2-Dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

10 N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{{2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;

N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

15 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl}-amino)-nicotinamide;

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

20 N-[3,3-Dimethyl-1-(piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

25 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

N-[3,3-Dimethyl-1-(pyrrolidin-2-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

30 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{{2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl)-amino]-nicotinamide;

5 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-(2-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(4-Pentafluoroethyl-phenyl)-2-[(pyrimidin-4-ylmethyl)-amino]-nicotinamide;

10 2-[(2-(Azetidin-3-yloxy)-pyridin-4-ylmethyl)-amino]-N-(4-tert-butyl-phenyl)nicotinamide;

N-(2,3,3-Trimethyl-1,1-dioxo-2,3-dihydro-1H-1λ<sup>a</sup>-benzo[d]isothiazol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

15 N-[3,3-Dimethyl-1,1-dioxo-2-(2-piperidin-1-yl-ethyl)-2,3-dihydro-1H-1λ<sup>a</sup>-benzo[d]isothiazol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide; and

N-[2-(2-Dimethylamino-ethyl)-3,3-dimethyl-1,1-dioxo-2,3-dihydro-1H-1λ<sup>a</sup>-benzo[d]isothiazol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide.

20

56. Compound of Claim 1 wherein ring A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl, imidazolinyl, pyrazolinyl, triazinyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl.

30 57. Compound of Claim 1 wherein R is selected from substituted or unsubstituted, saturated or partially saturated 5-6 membered heterocyclyl, and substituted or unsubstituted saturated or partially saturated fused 9-, 10- or 11-membered heterocyclyl.

35 58. Compound of Claim 1 wherein R<sup>1</sup> is selected from

- a) substituted or unsubstituted saturated or partially saturated 5-6 membered heterocyclyl, and
- b) substituted or unsubstituted saturated or partially saturated 9-11 membered fused heterocyclyl.

5 59. Compound of Claim 58 wherein A is pyridyl.

10 60. Compound of Claim 1 wherein R<sup>1</sup> is selected from non-nitrogen-containing heteroaryl.

15 61. Compound of Claim 60 wherein R<sup>1</sup> is selected from pyranyl, furyl, thienyl, benzofuryl, and benzothienyl.

15 62. Compound of Claim 1 wherein R<sup>1</sup> is substituted with a substituent selected from -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CONHR<sup>3</sup>, -COR<sup>3</sup>, -NHR<sup>3</sup>, -SO<sub>2</sub>NHR<sup>3</sup>, -NHC(O)OR<sup>3</sup>, -NHC(O)R<sup>3</sup> and optionally substituted 5-6 membered heterocyclyl-C<sub>1</sub>-C<sub>2</sub>-alkylenyl; and  
20 wherein R<sup>3</sup> is selected from 5-6 membered heterocyclyl.

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